09-921-282

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1626gms

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS	4	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS	5	FEB 05	German (DE) application and patent publication number format changes
NEWS	6	MAR 03	MEDLINE and LMEDLINE reloaded
NEWS	7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	8	MAR 03	FRANCEPAT now available on STN
NEWS	9	MAR 29	Pharmaceutical Substances (PS) now available on STN
NEWS	10	MAR 29	WPIFV now available on STN
NEWS	11	MAR 29	New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS	12	APR 26	PROMT: New display field available
NEWS	13	APR 26	IFIPAT/IFIUDB/IFICDB: New super search and display field available
NEWS	14	APR 26	LITALERT now available on STN
NEWS	15	APR 27	NLDB: New search and display fields available
NEWS	16	May 10	PROUSDDR now available on STN
NEWS	17	May 19	PROUSDDR: One FREE connect hour, per account, in both May and June 2004
NEWS	18	May 12	EXTEND option available in structure searching
NEWS	19	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS	20	May 17	FRFULL now available on STN

NEWS EXPRESS	MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:53:56 ON 25 MAY 2004

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:54:15 ON 25 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 MAY 2004 HIGHEST RN 685504-43-2
DICTIONARY FILE UPDATES: 24 MAY 2004 HIGHEST RN 685504-43-2

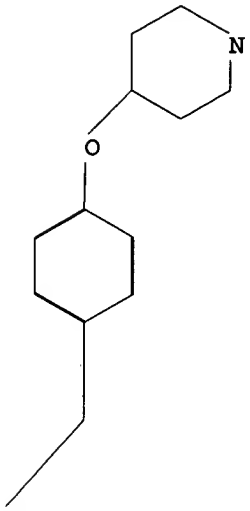
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

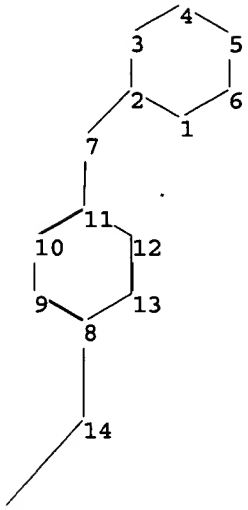
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\09922619d.str



Hy

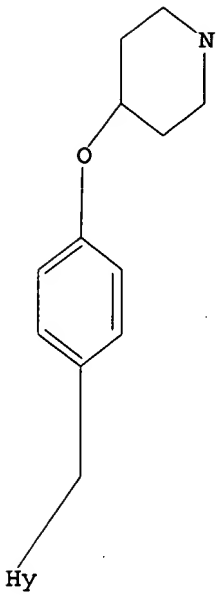


chain nodes :
7 14 16
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13
chain bonds :
2-7 7-11 8-14 14-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 4-5 5-6 7-11 14-16
exact bonds :
8-14
normalized bonds :
8-9 8-13 9-10 10-11 11-12 12-13
isolated ring systems :
containing 1 : 8 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 16:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 10:54:30 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   2016 TO ITERATE

 49.6% PROCESSED    1000 ITERATIONS                                 7 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        37627 TO    43013
PROJECTED ANSWERS:              57 TO      507

L2             7 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 10:54:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  41053 TO ITERATE

100.0% PROCESSED   41053 ITERATIONS                             499 ANSWERS
SEARCH TIME: 00.00.02

L3           499 SEA SSS FUL L1

=> FIL CAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             155.42     155.63

FILE 'CAPLUS' ENTERED AT 10:54:50 ON 25 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
```

FILE COVERS 1907 - 25 May 2004 VOL 140 ISS 22
FILE LAST UPDATED: 24 May 2004 (20040524/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l3
L4            50 L3

=> s l4 and py<=2000
      2061529 PY<=2000
L5            31 L4 AND PY<=2000

=> s l5 and thu
           137 THU
       2156919 THUS
       2157041 THU
                 (THU OR THUS)
L6            17 L5 AND THU

=> d l6 ibib abs hitstr tot
```

L6 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:687440 CAPLUS
DOCUMENT NUMBER: 135:257154
TITLE: Preparation of hydroxycoumarins as estrogen receptor ligands
INVENTOR(S): Stein, Bernd M.; Anderson, David Wesley; Gayo-Fung, Leah M.; Sutherland, May S.; Doubleday, Mary; Shevlin, Graziella I.; Kois, Adam; Khammungkhune, Sak; Jalluri, Ravi Kumar; Bhagwat, Shripad S.; McKie, Jeffrey A.
PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA
SOURCE: U.S., 31 pp., Cont.-in-part of Appl. No. PCT/US99/31290.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6291456	B1	20010918	US 2000-492939	20000127
WO 2000039120	A2	20000706	WO 1999-US31290	19991230 <--
WO 2000039120	A3	20001026		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,

CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6331562	B1	20011218	US 2000-611156	20000706	
WO 2001049673	A2	20010712	WO 2000-US35671	20001229	
WO 2001049673	A3	20011206			

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FR, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1246814	A2	20021009	EP 2000-990966	20001229	

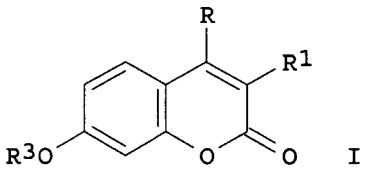
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2003519219	T2	20030617	JP 2001-550213	20001229	
US 6372739	B1	20020416	US 2001-897048	20010702	

PRIORITY APPLN. INFO.:

US 1998-114472P	P	19981230
US 1999-475776	B2	19991230
WO 1999-US31290	A2	19991230
US 2000-492939	A2	20000127
US 2000-611156	A	20000706
WO 2000-US35671	W	20001229

OTHER SOURCE(S): MARPAT 135:257154
GI



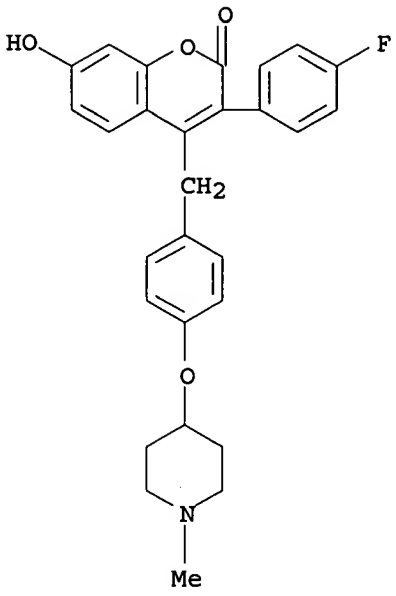
I

AB Title compds. [I; R = (CH2)pC6H4O(CH2)nR2; R1 = (un)substituted aryl(alkyl) or -heterocyclyl(alkyl); R2 = (un)substituted NH2, (di)azacycloalkyl, etc.; R3 = H, alkyl, aryl, acyl, etc.; n = 0-4; p = 0-2] were prepared **Thus**, 3-(MeO)C6H4OH was acylated by 4-(HO)C6H4CH2CO2H and the monoprotected product cyclocondensed with PhCH2COCl to give, in 2 addnl. steps, I [R = CH2C6H4(OCH2CH2R2)-4, R1 = Ph, R2 = piperidino, R3 = H]. Data for biol. activity of I were given.

IT **280138-11-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxycoumarins as estrogen receptor ligands)

RN 280138-11-6 CAPLUS

CN 2H-1-Benzopyran-2-one, 3-(4-fluorophenyl)-7-hydroxy-4-[[4-[(1-methyl-4-piperidinyl)oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



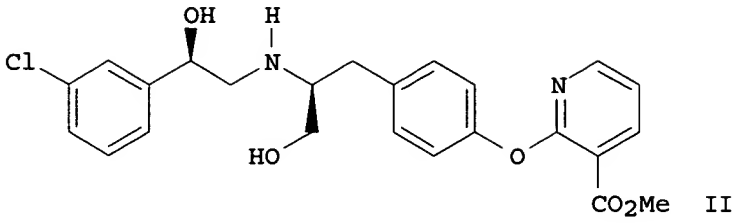
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:475643 CAPLUS
DOCUMENT NUMBER: 133:89439
TITLE: Preparation of [(aminohydroxyalkyl)phenoxy]nicotinates and analogs as β3-adrenoceptor agonists
INVENTOR(S): Taniguchi, Kiyoshi; Sakurai, Minoru; Kato, Takeshi; Fujii, Naoaki; Washizuka, Kenichi; Tomishima, Yasuyo; Takasugi, Hisashi; Kohno, Yutaka; Yamamoto, Nobuhiro; Tanimura, Naoko; Ishikawa, Hirohumi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000040560	A1	20000713	WO 1999-JP7203	19991222 <--
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1140849	A1	20011010	EP 1999-961305	19991222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002534415	T2	20021015	JP 2000-592269	19991222
US 2002143034	A1	20021003	US 2002-118929	20020410

PRIORITY APPLN. INFO.: AU 1998-7967 A 19981230
WO 1999-JP7203 W 19991222
US 2001-868615 B1 20010622

OTHER SOURCE(S): MARPAT 133:89439
GI



II

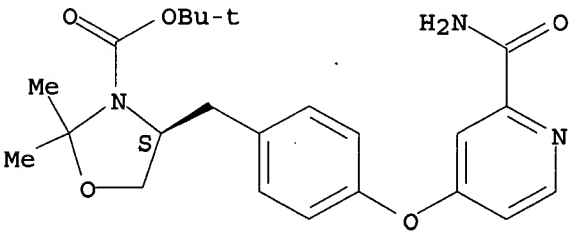
AB R1Z1CH(OH)CH2NR2CHR3Z2C6H4Z3R4 [I; R1 = (un)substituted Ph or -pyridyl; R2 = H, alkoxycarbonyl, CH2Ph, CO2CH2Ph; R3 = hydroxyalkyl, alkoxyalkyl, haloalkyl; R4 = (un)substituted aryl or -N-containing heterocyclyl; Z1 = bond or OCH2; Z2 = (CH2)1-3; Z3 = bond, O, S, OCH2, NH] were prepared **Thus**, (S)-4-(HO)C6H4CH2CH(NHBoc)CH2OH was etherified by 2-chloropyridine-3-carboxaldehyde (preparation given) and the product converted in 3 steps to (S)-4-(R4O)C6H4CH2CH(NH2)CH2OH (R4 = 3-methoxycarbonyl-2-pyridyl) which was N-alkylated by (R)-3-chlorostyrene oxide to give title compound II. Data for biol. activity of 1 I were given.

IT **282100-99-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [(aminohydroxyalkyl)phenoxy]nicotinates and analogs as β3-adrenoceptor agonists)

RN 282100-99-6 CAPLUS

CN 3-Oxazolidinecarboxylic acid, 4-[[4-[[2-(aminocarbonyl)-4-pyridinyl]oxy]phenyl]methyl]-2,2-dimethyl-, 1,1-dimethylethyl ester, (4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:457061 CAPLUS

DOCUMENT NUMBER: 133:73934

TITLE: Preparation of arylcoumarins which modulate gene expression through the estrogen receptor

INVENTOR(S): Stein, Bernd M.; Anderson, David W.; Gayo, Leah M.; Sutherland, May S.; Doubleday, Mary; Shevlin, Graziella I.; Kois, Adam; Khammungkhune, Sak; Jalluri, Ravi Kumar; Bhagwat, Shripad S.; McKie, Jeffrey A.

PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2

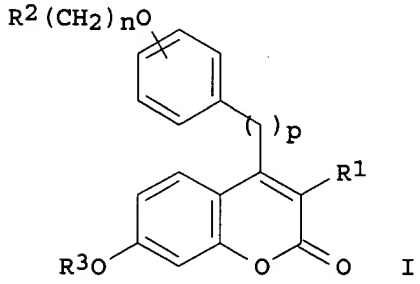
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039120	A2	20000706	WO 1999-US31290	19991230 <--
WO 2000039120	A3	20001026		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2356986	AA	20000706	CA 1999-2356986	19991230 <--
EP 1140889	A2	20011010	EP 1999-968578	19991230
EP 1140889	B1	20030827		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002533456	T2	20021008	JP 2000-591031	19991230
AU 765159	B2	20030911	AU 2000-25970	19991230
AT 248157	E	20030915	AT 1999-968578	19991230
PT 1140889	T	20040130	PT 1999-968578	19991230
US 6291456	B1	20010918	US 2000-492939	20000127
US 6331562	B1	20011218	US 2000-611156	20000706
US 6372739	B1	20020416	US 2001-897048	20010702
HK 1041258	A1	20031212	HK 2002-101413	20020225

PRIORITY APPLN. INFO.:
US 1998-114472P P 19981230
US 1999-475776 B2 19991230
WO 1999-US31290 W 19991230
US 2000-492939 A2 20000127

OTHER SOURCE(S): MARPAT 133:73934
GI



I

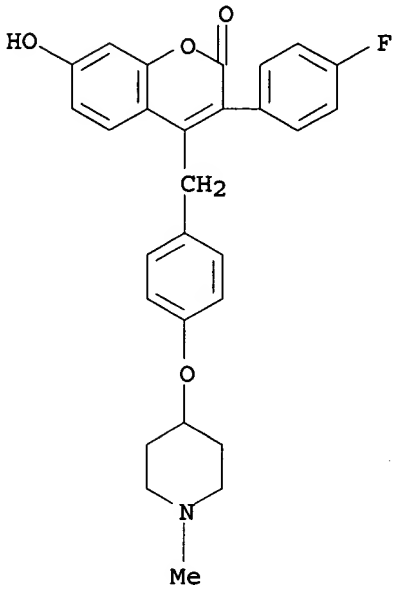
AB Title compds. [I; n = 0-4; p = 0-2; R1 = (substituted) aryl, aralkyl, heterocyclyl, heterocyclylalkyl; R2 = NRaRb, (substituted) heterocyclyl; Ra, Rb = H, (substituted) alkyl, aryl, heterocyclyl; R3 = H, R4, COR4, CO2R4, CONHR4, etc.; R4 = (substituted) alkyl, aryl, aralkyl, heterocyclyl], were prepared **Thus**, 3-phenyl-4-(4-hydroxybenzyl)-7-methoxycoumarin (preparation given) was refluxed with N-(2-chloroethyl)piperidine and K2CO3 in acetone to give 3-phenyl-4-[4-[2-(piperidin-1-yl)]ethoxy]benzyl-7-methoxycoumarin. This was refluxed with HBr in HOAc to give 3-phenyl-4-(4-hydroxybenzyl)-7-hydroxycoumarin, which

bound to ER-α receptors with Ki = 1.4 nM.

IT **280138-11-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylcoumarins which modulate gene expression through the estrogen receptor)

RN 280138-11-6 CAPLUS

CN 2H-1-Benzopyran-2-one, 3-(4-fluorophenyl)-7-hydroxy-4-[[4-[(1-methyl-4-piperidinyl)oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:102864 CAPLUS

DOCUMENT NUMBER: 132:203391

TITLE: Pharmacologic characterization of the oxytocin receptor in human uterine smooth muscle cells

AUTHOR(S): Tahara, Atsuo; Tsukada, Junko; Tomura, Yuichi; Wada, Koh-Ichi; Kusayama, Toshiyuki; Ishii, Noe; Yatsu, Takeyuki; Uchida, Wataru; Tanaka, Akihiro

CORPORATE SOURCE: Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd., Tsukuba, 305-8585, Japan

SOURCE: British Journal of Pharmacology (**2000**), 129(1), 131-139
CODEN: BJPCBM; ISSN: 0007-1188

PUBLISHER: Nature Publishing Group

DOCUMENT TYPE: Journal

LANGUAGE: English

AB [3H]-oxytocin was used to characterize the oxytocin receptor found in human uterine smooth muscle cells (USMC). Specific binding of [3H]-oxytocin to USMC plasma membranes was dependent upon time, temperature and membrane protein concentration Scatchard plot anal. of equilibrium binding data revealed the existence of a single class of high-affinity binding sites with an apparent equilibrium dissociation constant (Kd) of 0.76 nM and a maximum

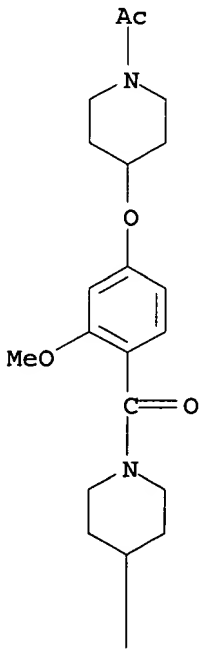
receptor d. (Bmax) of 153 fmol/mg protein. The Hill coefficient (nH) did not differ significantly from unity, suggesting binding to homogeneous, non-interacting receptor populations. Competitive inhibition of [3H]-oxytocin binding showed that oxytocin and vasopressin (AVP) receptor agonists and antagonists displaced [3H]-oxytocin in a concentration-dependent manner. The order of potencies for peptide agonists and antagonists was: oxytocin > [Asu1,6]-oxytocin > AVP = atosiban > d(CH2)5Tyr(Me)AVP > [Thr4,Gly7]-oxytocin > dDAVP, and for nonpeptide antagonists was: L-371257 > YM 087 > SR 49059 > OPC-21268 > SR 121463A > OPC-31260. Oxytocin significantly induced concentration-dependent increase in intracellular Ca2+ concentration ([Ca2+]i) and hyperplasia in USMC. The oxytocin receptor antagonists, atosiban and L-371257, potently and concentration-dependently inhibited oxytocin-induced [Ca2+]i increase and hyperplasia. In contrast, the V1A receptor selective antagonist, SR 49059, and the V2 receptor selective antagonist, SR 121463A, did not potently inhibit oxytocin-induced [Ca2+]i increase and hyperplasia. The potency order of antagonists in inhibiting oxytocin-induced [Ca2+]i increase and hyperplasia was similar to that observed in radioligand binding assays. In conclusion, these data provide evidence that the high-affinity [3H]-oxytocin binding site found in human USMC is a functional oxytocin receptor coupled to [Ca2+]i increase and cell growth. **Thus** human USMC may prove to be a valuable tool in further investigation of the physiol. and pathophysiol. roles of oxytocin in the uterus.

IT **162042-44-6**, L-371257
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(oxytocin receptor pharmacol. and functional characterization in human uterine smooth muscle cells)

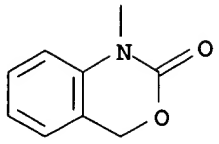
RN 162042-44-6 CAPLUS

CN Piperidine, 1-[4-[(1-acetyl-4-piperidinyl)oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

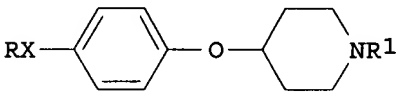


REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

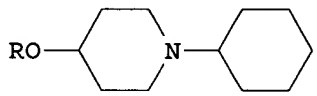
L6 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:704995 CAPLUS
DOCUMENT NUMBER: 131:310560
TITLE: 1,4-Disubstituted piperidine ether muscarinic antagonists
INVENTOR(S): Wang, Yuguang; Chang, Wei K.; Dugar, Sundeep; Chackalamannil, Samuel
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 24 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5977138	A	19991102	US 1997-910616	19970813 <--

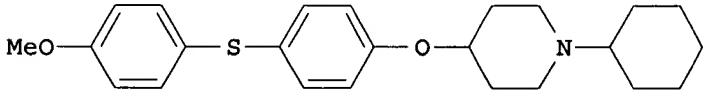
PRIORITY APPLN. INFO.: US 1996-24112P P 19960816
OTHER SOURCE(S): MARPAT 131:310560
GI



I



II



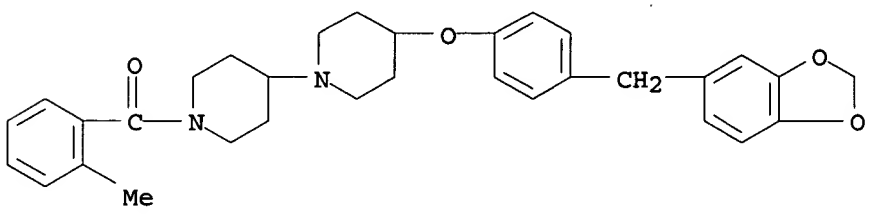
III

AB Title compds. such as I [X = a bond, O, S, SO2, CO, CH:CH, CH2, etc.; R = cycloalkyl, (un)substituted Ph, (un)substituted pyridyl; R1 = H, alkyl, (un)substituted cycloalkyl, cycloalkenyl, (un)substituted piperidinyl, etc.] were prepared for treatment of cognitive disorders such as Alzheimer' disease. **Thus**, heating a solution of 0.58 g II (R = 4-iodophenyl), obtained from II (R = H) and 4-iodophenol, 0.42 g 4-methoxybenzenethiol, 47.6 mg CuI, 1.0 g K2CO3 in 9 mL DMPU under N2 at 140-145° for 4.5 h gave 0.45 g III, which was converted to the hydrochloride. Ranges of Ki values were given for binding of I to m1, m2, m3, and m4 receptors.

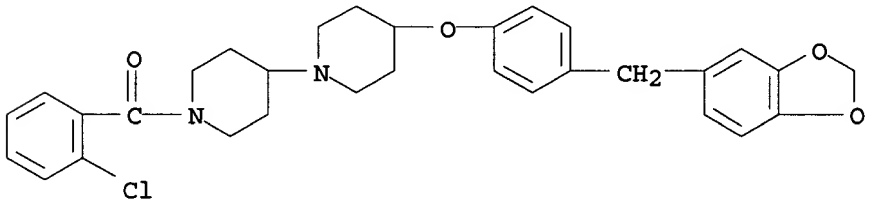
IT **203444-93-3P 203444-94-4P 203445-10-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as M2 muscarinic antagonist)

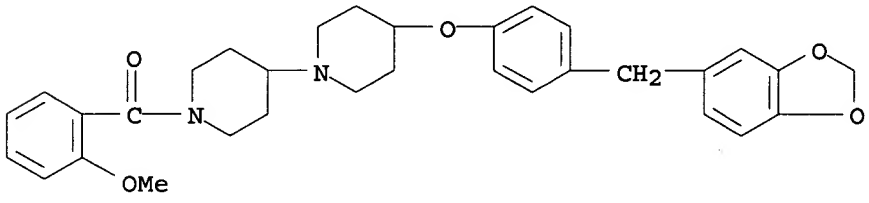
RN 203444-93-3 CAPLUS
CN 1,4'-Bipiperidine, 4-[4-(1,3-benzodioxol-5-ylmethyl)phenoxy]-1'-(2-methylbenzoyl)- (9CI) (CA INDEX NAME)



RN 203444-94-4 CAPLUS
CN 1,4'-Bipiperidine, 4-[4-(1,3-benzodioxol-5-ylmethyl)phenoxy]-1'-(2-chlorobenzoyl)- (9CI) (CA INDEX NAME)



RN 203445-10-7 CAPLUS
CN 1,4'-Bipiperidine, 4-[4-(1,3-benzodioxol-5-ylmethyl)phenoxy]-1'-(2-methoxybenzoyl)- (9CI) (CA INDEX NAME)



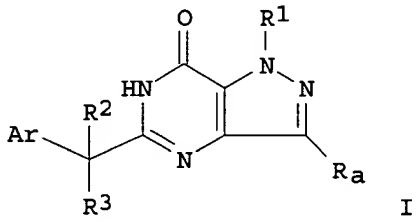
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:279736 CAPLUS
DOCUMENT NUMBER: 130:296693
TITLE: Preparation of pyrazolo[4,3-d]pyrimidine derivatives as inhibitors of phosphodiesterase 1 and pharmaceutical compositions containing them
INVENTOR(S): Bell, Andrew Simon; Terrett, Nicholas Kenneth
PATENT ASSIGNEE(S): Pfizer Inc., USA; Pfizer Limited
SOURCE: Eur. Pat. Appl., 78 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

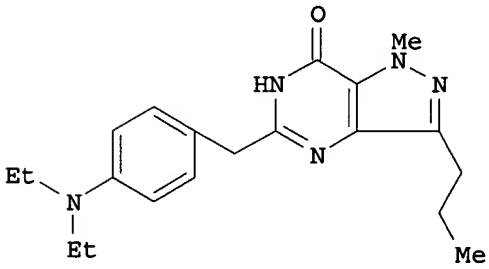
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 911333	A1	19990428	EP 1998-308177	19981008	<--
EP 911333	B1	20020410			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
AT 215954	E	20020415	AT 1998-308177	19981008	
PT 911333	T	20020830	PT 1998-308177	19981008	
ES 2175624	T3	20021116	ES 1998-308177	19981008	
US 6235742	B1	20010522	US 1998-176166	19981021	
CA 2251453	AA	19990424	CA 1998-2251453	19981023	<--
CA 2251453	C	20020319			
JP 11217383	A2	19990810	JP 1998-304076	19981026	<--
JP 3270830	B2	20020402			
BR 9804214	A	19991214	BR 1998-4214	19981026	<--

PRIORITY APPLN. INFO.: GB 1997-22520 A 19971024
OTHER SOURCE(S): MARPAT 130:296693
GI



I



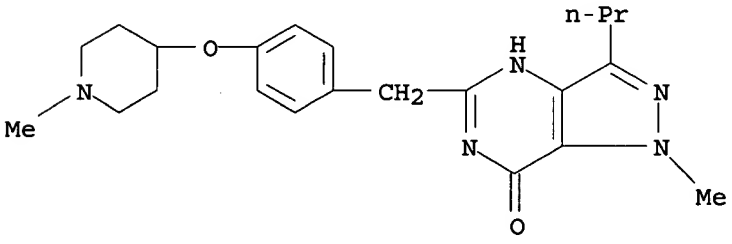
II

AB The title compds. [I; Ra = C2-6 alkyl; R1 = H, C1-4 alkyl; each of R2 and R3 is independently selected from H and C1-4 alkyl, or R2 is H or C1-4 alkyl and R3 is OH, C2-4 alkanoyloxy or fluoro, or R2 and R3 when taken together represent C2-6 alkylene, or R2 and R3 when taken together with the carbon atom to which they are attached represent a carbonyl group; Ar = (un)substituted Ph] are prepared and claimed. These compds. are inhibitors of at least Ca/CAM-dependent phosphodiesterase 1 (PDE1). Some of the compds. are selective and potent inhibitors of Ca/CAM-dependent PDE1. They are useful for the treatment of stroke, dementia, memory enhancement, atherosclerosis, urge incontinence, hypertension, angina pectoris, congestive heart failure, myocardial infarction or restenosis. They are also used for the treatment of male erectile dysfunction, female sexual dysfunction, premature labour, dysmenorrhoea, benign prostatic

hyperplasia (BPH), bladder outlet obstruction, incontinence, stable, unstable and variant (Prinzmetal) angina, hypertension, pulmonary hypertension, congestive heart failure, atherosclerosis, stroke, peripheral vascular disease, conditions of reduced blood vessel patency, chronic asthma, bronchitis, allergic asthma, allergic rhinitis, glaucoma or diseases characterized by disorders of gut motility. **Thus**, N-ethyl-N-{4-[(1-methyl-7-oxo-3-propyl-6,7-dihydro-1H-pyrazolo [4,3-d]pyrimidin-5-yl)methyl]phenyl}acetamide was reduced by LiAlH4 in THF under reflux to give the title compound (II). II in vitro showed IC50 of 38 nM, 1.99, 3.94, 23, 2.49, and 2.03 μM against human cardiac ventricle, human corpus cavernosum, human corpus cavernosum, rat kidney, human corpus cavernosum, and bovine retinauman cardiac PDE1, resp.

IT **223429-58-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolo[4,3-d]pyrimidine derivs. as inhibitors of phosphodiesterase 1 for treatment of diseases)

RN 223429-58-1 CAPLUS
CN 7H-Pyrazolo[4,3-d]pyrimidin-7-one, 1,4-dihydro-1-methyl-5-[[4-[(1-methyl-4-piperidinyl)oxy]phenyl]methyl]-3-propyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:219801 CAPLUS
DOCUMENT NUMBER: 130:267340
TITLE: Preparation of novel benzothiophenes for the inhibition of the various medical conditions associated with postmenopausal syndrome
INVENTOR(S): Dodge, Jeffrey Alan; Stocksdale, Mark Gregory
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 905132	A1	19990331	EP 1998-307627	19980921 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2304138	AA	19990401	CA 1998-2304138	19980918 <--
WO 9915521	A1	19990401	WO 1998-US19557	19980918 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH,				

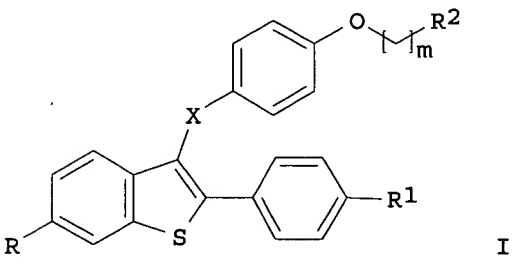
GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG,
SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA,
GN, GW, ML, MR, NE, SN, TD, TG

AU 9894936	A1	19990412	AU 1998-94936	19980918	<--
JP 2001517664	T2	20011009	JP 2000-512826	19980918	
US 6060488	A	20000509	US 1998-158293	19980922	<--

PRIORITY APPLN. INFO.: US 1997-59620P P 19970923
WO 1998-US19557 W 19980918

OTHER SOURCE(S): MARPAT 130:267340

GI



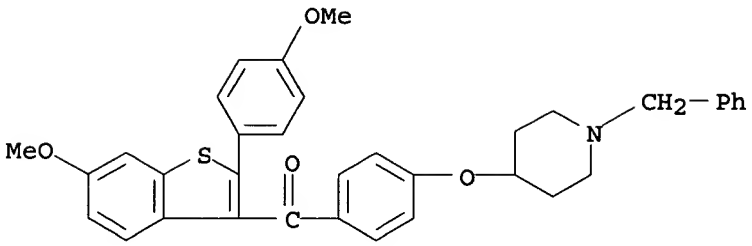
I

AB The title compds. [I; m = 0-1; R, R1 = OH, halo, OPg; X = CO, CHOH, O, S; Pg = hydroxy protecting group; R2 = substituted C5-7 cycloalkyl, N-substituted pyrrolidin-2-yl, pyrrolidin-3-yl, etc.], useful for the inhibition of the various medical conditions associated with postmenopausal syndrome such as osteoporosis and cardiovascular disease, as well as estrogen dependent diseases including cancer of the breast, uterus, and cervix, were prepared and formulated. **Thus**, treatment of 6-methoxy-2-(4-methoxyphenyl)-3-[4-(1-methylpiperidin-4-oxy)benzoyl]benzo[b]thiophene (preparation given) with EtSH and AlCl3 in CH2Cl2 afforded 64% I [R, R1 = OH; X = CO; m = 0; R2 = 1-methylpiperidin-4-yl] which showed 29.4% protection of the femur from bone loss at 1 mg/kg.

IT **222400-98-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzothiophenes for the inhibition of the various medical conditions associated with postmenopausal syndrome)

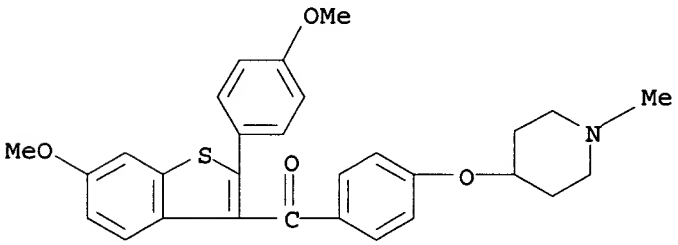
RN 222400-98-8 CAPLUS

CN Methanone, [6-methoxy-2-(4-methoxyphenyl)benzo[b]thien-3-yl][4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

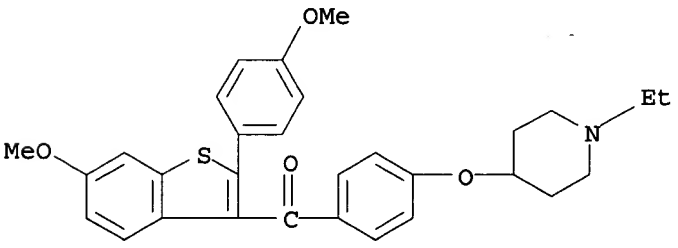


IT **222400-96-6P 222400-97-7P 222400-99-9P**
222401-11-8P 222401-12-9P 222401-13-0P
222401-14-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzothiophenes for the inhibition of the various medical conditions associated with postmenopausal syndrome)

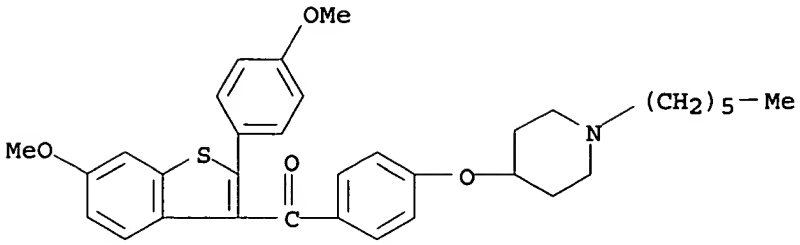
RN 222400-96-6 CAPLUS
CN Methanone, [6-methoxy-2-(4-methoxyphenyl)benzo[b]thien-3-yl][4-[(1-methyl-4-piperidinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



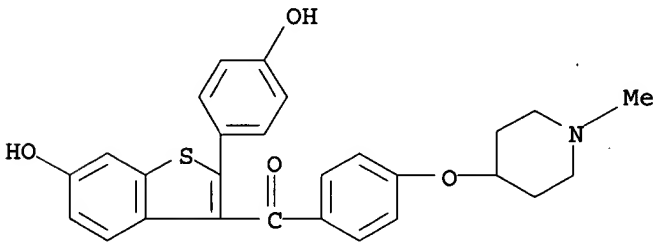
RN 222400-97-7 CAPLUS
CN Methanone, [4-[(1-ethyl-4-piperidinyl)oxy]phenyl][6-methoxy-2-(4-methoxyphenyl)benzo[b]thien-3-yl]- (9CI) (CA INDEX NAME)



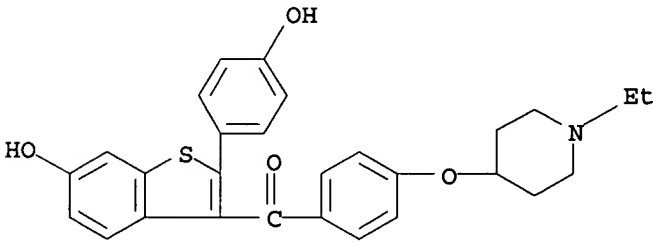
RN 222400-99-9 CAPLUS
CN Methanone, [4-[(1-hexyl-4-piperidinyl)oxy]phenyl][6-methoxy-2-(4-methoxyphenyl)benzo[b]thien-3-yl]- (9CI) (CA INDEX NAME)



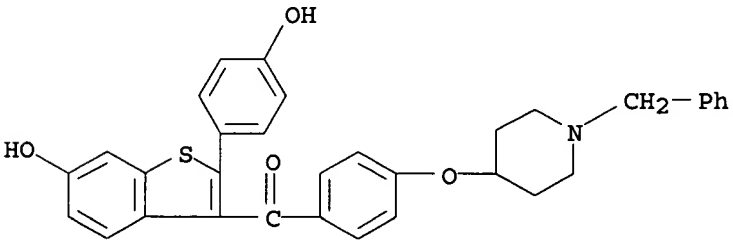
RN 222401-11-8 CAPLUS
CN Methanone, [6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl][4-[(1-methyl-4-piperidinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



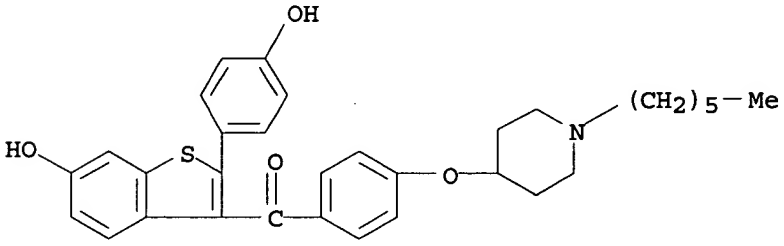
RN 222401-12-9 CAPLUS
CN Methanone, [4-[(1-ethyl-4-piperidinyl)oxy]phenyl][6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl]- (9CI) (CA INDEX NAME)



RN 222401-13-0 CAPLUS
CN Methanone, [6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl][4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



RN 222401-14-1 CAPLUS
CN Methanone, [4-[(1-hexyl-4-piperidinyl)oxy]phenyl][6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thien-3-yl]- (9CI) (CA INDEX NAME)

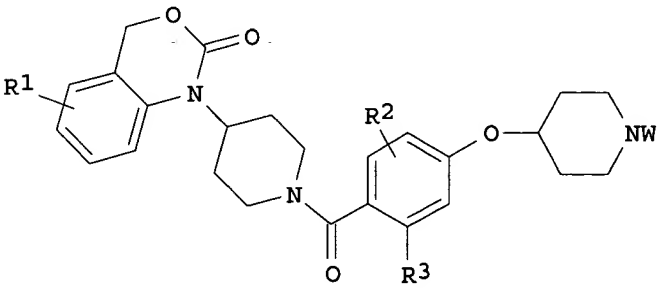


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:352628 CAPLUS
DOCUMENT NUMBER: 129:41136
TITLE: Preparation of benzoxazinones as tocolytic oxytocin receptor antagonists.
INVENTOR(S): Bell, Ian M.; Freidinger, Roger M.; Williams, Peter D.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 20 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5756497	A	19980526	US 1997-807307	19970227 <--

PRIORITY APPLN. INFO.: US 1997-807307 19970227
OTHER SOURCE(S): MARPAT 129:41136
GI



I

AB Title compds. [I; R1, R2 = H, halo; R3 = H, alkoxy; W = (substituted) 3-pyridylmethyl, 3-pyridylcarbonyl, tetrahydroquinolinyl, etc.], were prepared **Thus**, 4-(N-tert-butoxycarbonyl-4-piperidinyloxy)-2-methoxybenzoic acid (preparation given) and 1-(4-piperidinyl)-4(H)-3,1-benzoxazin-2(1H)-one hydrochloride (preparation given) were stirred with HOBT and EDC in DMF to give the coupling product, which was treated with HCl in

EtOAc to give 1-[1-[4-(4-piperidinyloxy)-2-methoxybenzoyl]piperidin-4-yl]-4(H)-1,3-benzoxazin-2(1H)-one. Representative I inhibited binding of [3H]oxytocin to uterine tissue with IC50 = 1-50 nM.

IT **162042-43-5P 181269-27-2P 181269-54-5P**
198401-48-8P 198401-50-2P 198401-62-6P
198401-64-8P 198401-67-1P 198401-72-8P
198401-73-9P 198401-74-0P 208252-32-8P
208252-33-9P 208252-34-0P 208252-35-1P
208252-37-3P 208252-39-5P 208252-40-8P
208252-41-9P 208252-42-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoxazinones as tocolytic oxytocin receptor antagonists)

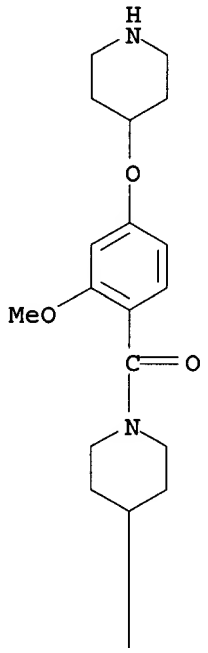
RN 162042-43-5 CAPLUS

CN Piperidine, 1-[2-methoxy-4-(4-piperidinyloxy)benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

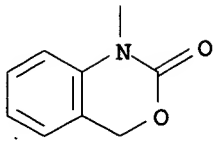
CM 1

CRN 162042-42-4
CMF C26 H31 N3 O5

PAGE 1-A

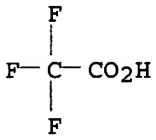


PAGE 2-A



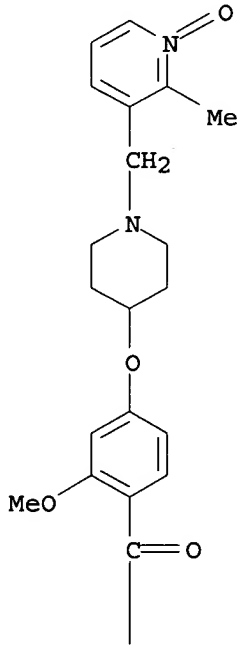
CM 2

CRN 76-05-1
CMF C2 H F3 O2

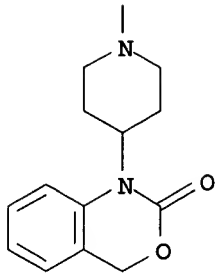


RN 181269-27-2 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



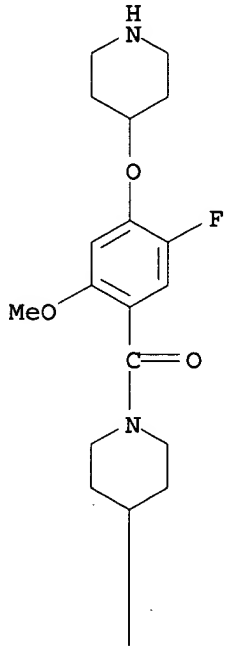
PAGE 2-A



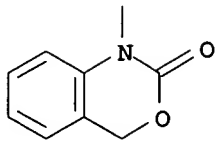
● HCl

RN 181269-54-5 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-(4-piperidinyloxy)benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



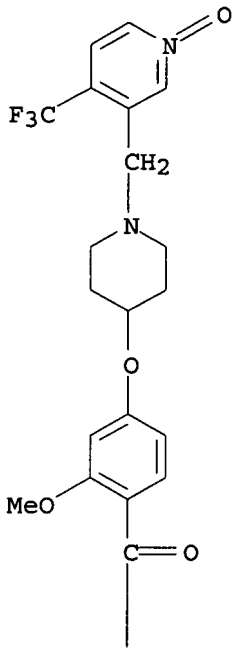
PAGE 2-A



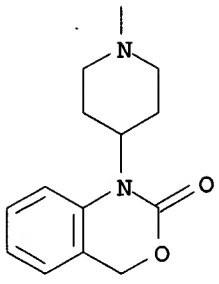
●2 HCl

RN 198401-48-8 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[1-oxido-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



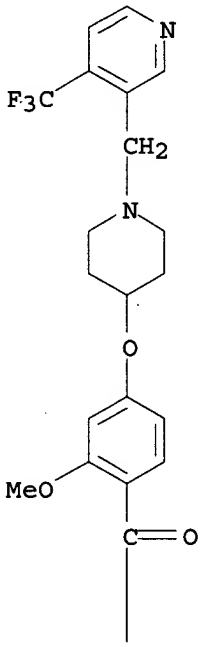
PAGE 2-A



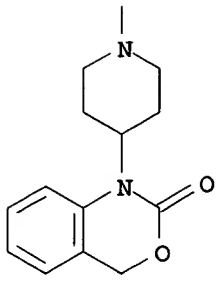
● HCl

RN 198401-50-2 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

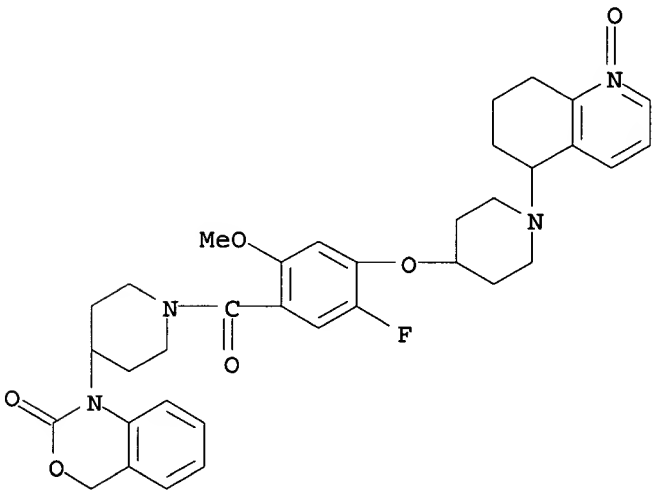


PAGE 2-A



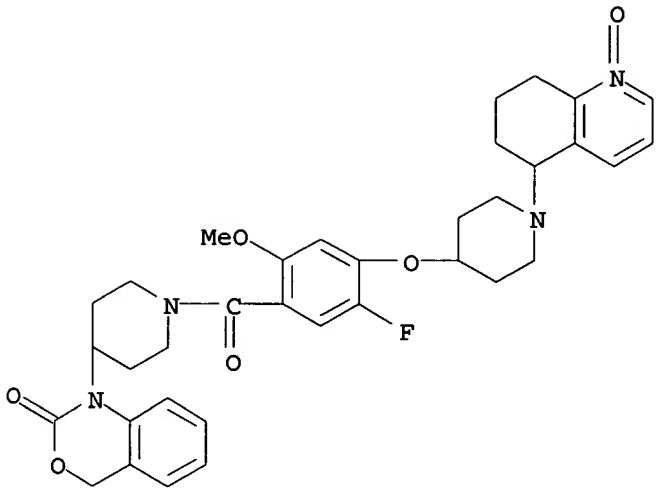
● HCl

RN 198401-62-6 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-(5,6,7,8-tetrahydro-1-oxido-5-quinolinyl)-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

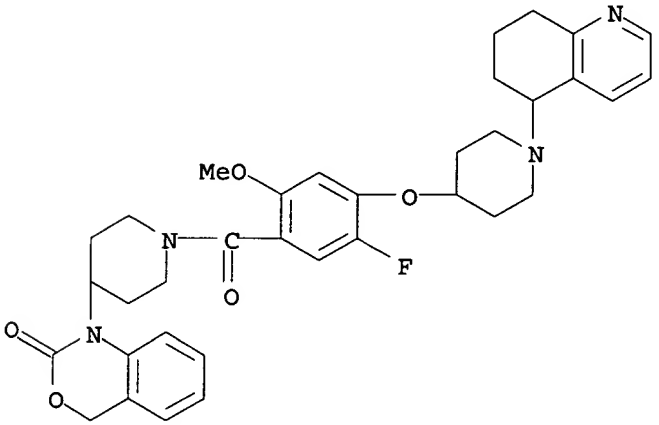


● HCl

RN 198401-64-8 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-(5,6,7,8-tetrahydro-1-oxido-5-quinolinyl)-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)



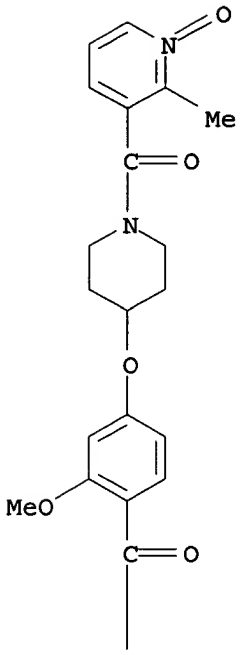
RN 198401-67-1 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-(5,6,7,8-tetrahydro-5-quinolinyl)-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



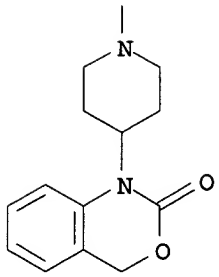
● HCl

RN 198401-72-8 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-1-oxido-3-pyridinyl)carbonyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



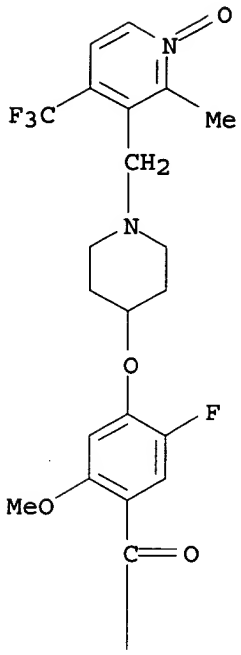
PAGE 2-A



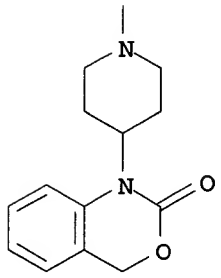
● HCl

RN 198401-73-9 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[2-methyl-1-oxido-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A

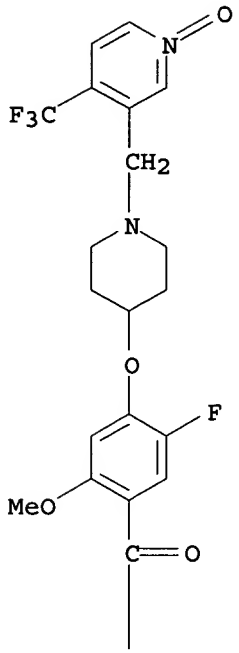


PAGE 2-A

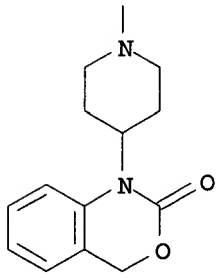


RN 198401-74-0 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[1-oxido-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A

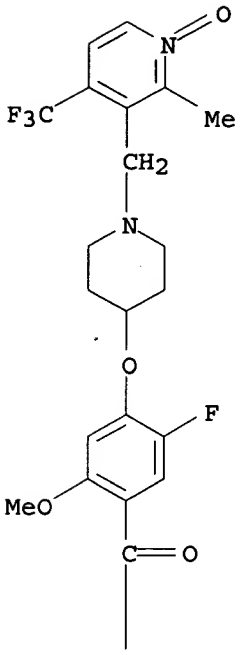


PAGE 2-A

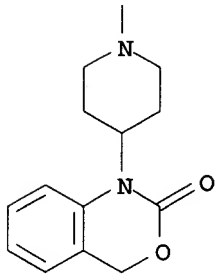


RN 208252-32-8 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[2-methyl-1-oxido-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



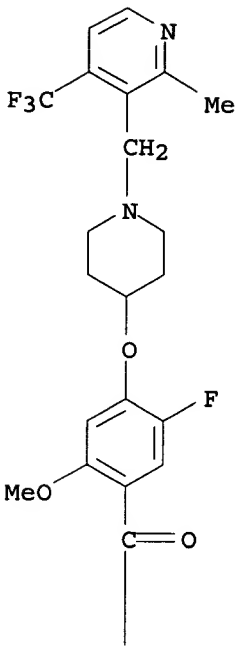
● HCl

RN 208252-33-9 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[2-methyl-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

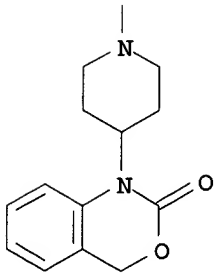
CM 1

CRN 198401-54-6
CMF C34 H36 F4 N4 O5

PAGE 1-A

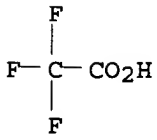


PAGE 2-A



CM 2

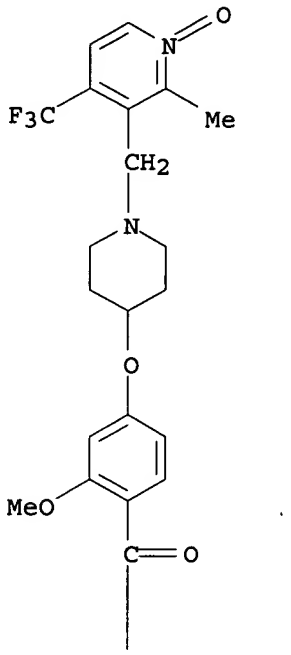
CRN 76-05-1
CMF C2 H F3 O2



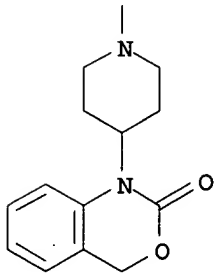
RN 208252-34-0 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[2-methyl-1-oxido-4-(trifluoromethyl)-3-

pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



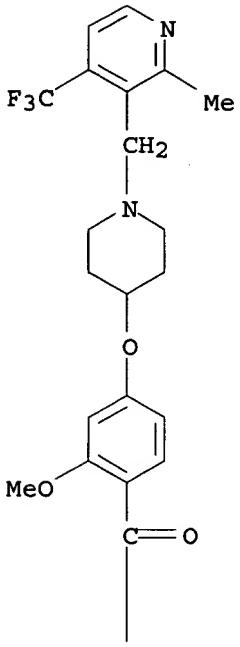
● HCl

RN 208252-35-1 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[2-methyl-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

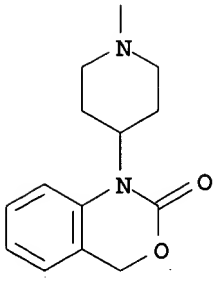
CM 1

CRN 198401-59-1
CMF C34 H37 F3 N4 O5

PAGE 1-A

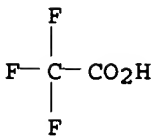


PAGE 2-A



CM 2

CRN 76-05-1
CMF C2 H F3 O2



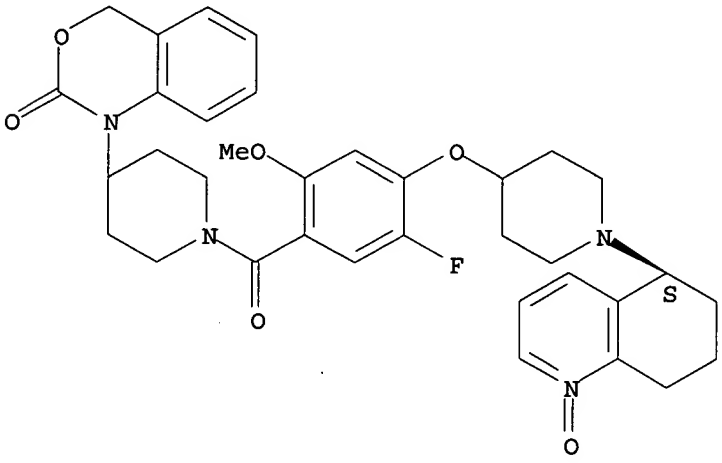
RN 208252-37-3 CAPLUS

CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[(5S)-5,6,7,8-tetrahydro-1-oxido-5-quinolinyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

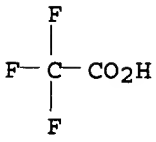
CRN 208252-36-2
CMF C35 H39 F N4 O6

Absolute stereochemistry. Rotation (-).



CM 2

CRN 76-05-1
CMF C2 H F3 O2

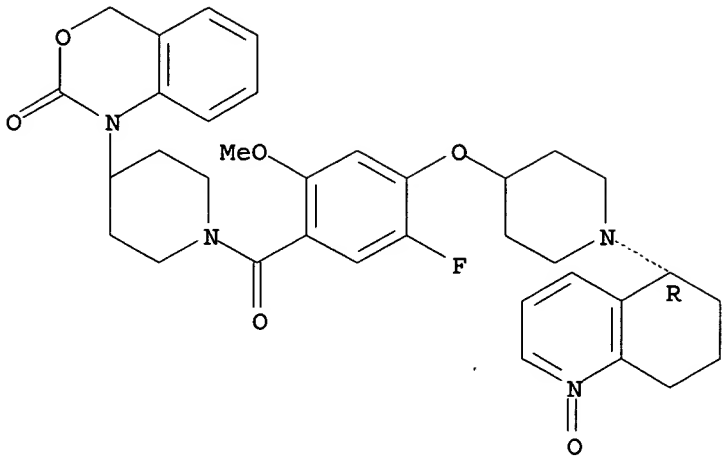


RN 208252-39-5 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-(5,6,7,8-tetrahydro-1-oxido-5-quinolinyl)-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, (+)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

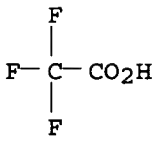
CRN 208252-38-4
CMF C35 H39 F N4 O6

Absolute stereochemistry. Rotation (+).



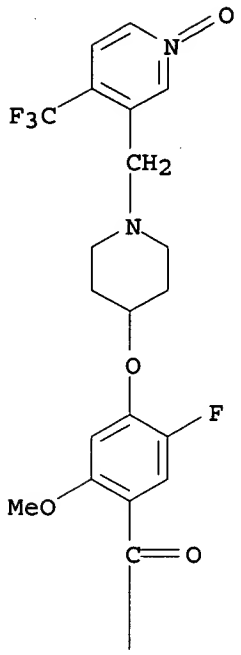
CM 2

CRN 76-05-1
CMF C2 H F3 O2

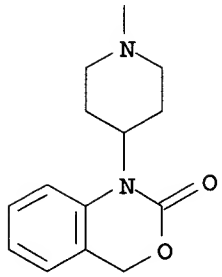


RN 208252-40-8 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[1-oxido-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



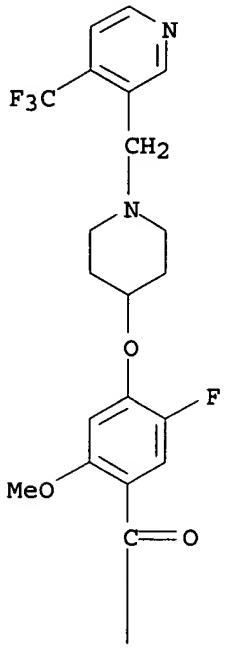
PAGE 2-A



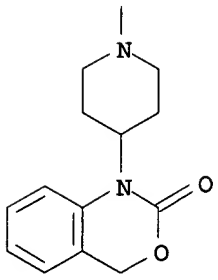
● HCl

RN 208252-41-9 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



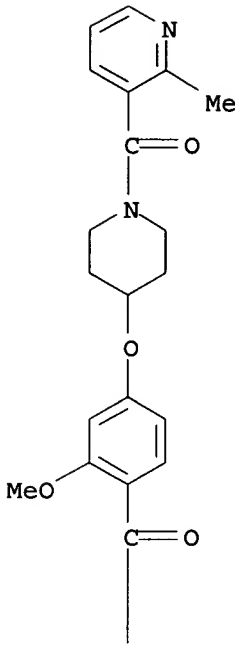
PAGE 2-A



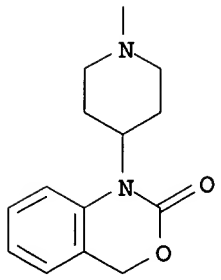
●2 HCl

RN 208252-42-0 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-3-pyridinyl)carbonyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



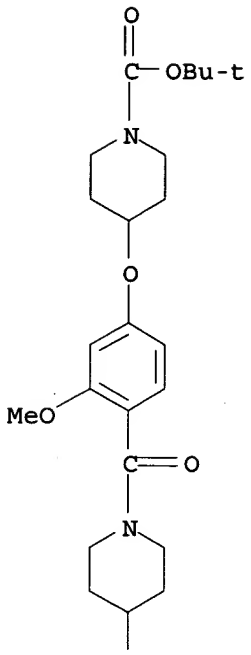
PAGE 2-A



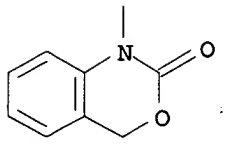
● HCl

IT **162042-41-3P 181269-53-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzoxazinones as tocolytic oxytocin receptor antagonists)
RN 162042-41-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[3-methoxy-4-[[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]carbonyl]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

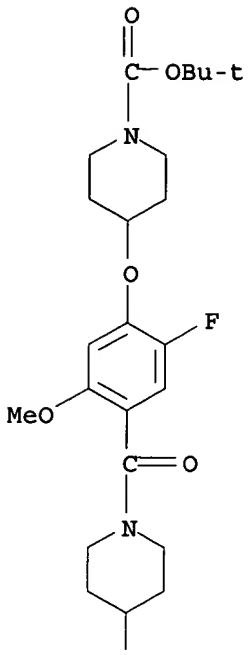


PAGE 2-A

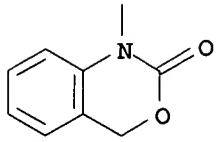


RN 181269-53-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-fluoro-5-methoxy-4-[[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]carbonyl]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:129461 CAPLUS
DOCUMENT NUMBER: 128:192554
TITLE: Preparation of phenyl piperidin-4-yl ethers as muscarinic antagonists
INVENTOR(S): Wang, Yuguang; Chang, Wei K.; Dugar, Sundeep; Chackalamannil, Samuel
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9806697	A1	19980219	WO 1997-US13894	19970813 <--

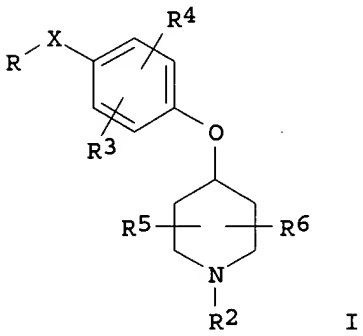
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9739732	A1	19980306	AU 1997-39732	19970813	<--
AU 732096	B2	20010412			
EP 922029	A1	19990616	EP 1997-937152	19970813	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO					
BR 9711061	A	19990817	BR 1997-11061	19970813	<--
CN 1232453	A	19991020	CN 1997-198558	19970813	<--
JP 2000500786	T2	20000125	JP 1998-509854	19970813	<--
JP 3390179	B2	20030324			
NZ 334017	A	20000428	NZ 1997-334017	19970813	<--
NO 9900671	A	19990415	NO 1999-671	19990212	<--
KR 2000029976	A	20000525	KR 1999-701227	19990212	<--

PRIORITY APPLN. INFO.: US 1996-700722 A 19960815
WO 1997-US13894 W 19970813

OTHER SOURCE(S): MARPAT 128:192554

GI



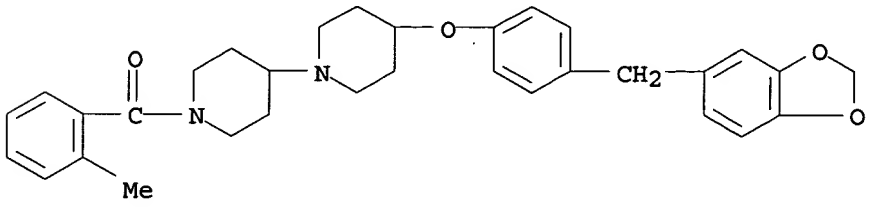
I

AB The title compds. [I; X = a bond, O, S, SO, etc.; R = cycloalkyl, (un)substituted Ph, (un)substituted pyridyl; R2 = H, alkyl, (un)substituted cycloalkyl, cycloalkenyl, tert-butoxycarbonyl, (un)substituted piperidinyl; R3, R4 = H, halo, CF3, etc.; R5, R6 = H, C1-6 alkyl, CF3, etc.], useful for treating cognitive disorders such as Alzheimer's disease, were prepared **Thus**, reduction of N-cyclohexylpiperidin-4-one with NaBH4 in EtOH followed by reacting the resulting N-cyclohexylpiperidin-4-ol with 4-iodophenol in the presence of PPh3 and di-Et azodicarboxylate in THF, and coupling of N-cyclohexyl-4-(4-iodophenoxy)piperidine with 4-methoxybenzenethiol in the presence of CuI and K2CO3 in DMPU afforded I [X = S; R = 4-MeOC6H4; R2 = cyclohexyl; R3-R6 = H]. Compds. I showed, e.g., Ki of 0.23-167.90 nM against binding to m2 receptor and Ki of 1.78-353.66 nM against binding to m4 receptor. Also disclosed are pharmaceutical compns., methods of preparation and combinations of compds. I with ACh'ase inhibitors.

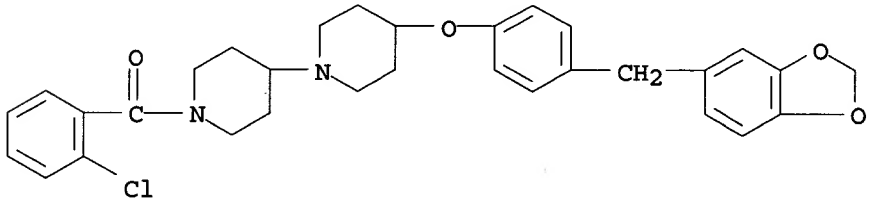
IT **203444-93-3P 203444-94-4P 203445-10-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of Ph piperidin-4-yl ethers as muscarinic antagonists)

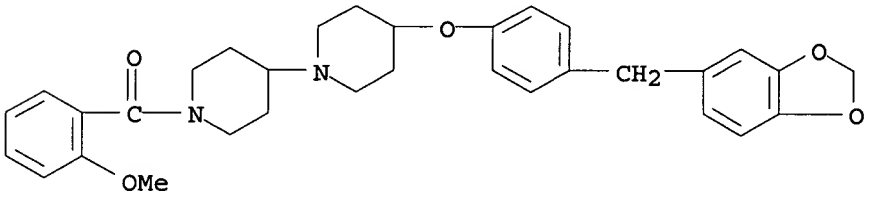
RN 203444-93-3 CAPLUS
CN 1,4'-Bipiperidine, 4-[4-(1,3-benzodioxol-5-ylmethyl)phenoxy]-1'-(2-methylbenzoyl)- (9CI) (CA INDEX NAME)



RN 203444-94-4 CAPLUS
CN 1,4'-Bipiperidine, 4-[4-(1,3-benzodioxol-5-ylmethyl)phenoxy]-1'-(2-chlorobenzoyl)- (9CI) (CA INDEX NAME)



RN 203445-10-7 CAPLUS
CN 1,4'-Bipiperidine, 4-[4-(1,3-benzodioxol-5-ylmethyl)phenoxy]-1'-(2-methoxybenzoyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

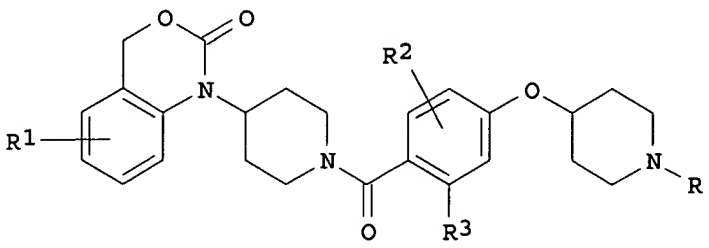
L6 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:760124 CAPLUS
DOCUMENT NUMBER: 127:358867
TITLE: Preparation of 1-(1-benzoyl-4-piperidinyl)-3,1-benzoxazin-2-ones as oxytocin receptor antagonists
INVENTOR(S): Bell, Ian M.; Freidinger, Roger M.; Williams, Peter D.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Brit. UK Pat. Appl., 59 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

09922619

PATENT NO.	KIND	DATE		APPLICATION NO.		DATE
GB 2310660	A1	19970903		GB 1997-4025		19970226 <--
PRIORITY APPLN. INFO.:			US	1996-12693P	P	19960301
			GB	1996-5648	A	19960318

OTHER SOURCE(S): MARPAT 127:358867

GI



I

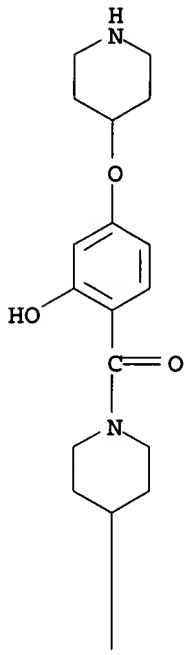
AB Title compds. [I; R = (un)substituted (oxido) 3-pyridinylmethyl, -3-pyridinylcarbonyl, -5,6,7,8-tetrahydroquinol-5-8-yl, etc.; R1,R2 = H or halo; R3 = H or alkoxy] were prepared **Thus**, 1-tert-butoxycarbonyl-4-piperidinone was reductively aminated by 2-(H2N)C6H4CH2OH and the cyclized product deprotected to give, after N-acylation by 4-(1-tert-butoxycarbonyl-4-piperidinyloxy)-2-methoxybenzoic acid (preparation given) and deprotection, I (R1 = R2 = H, R3 = OMe)(II; R = H) which was N-alkylated by 3-chloromethyl-2-methylpyridine N-oxide (preparation given) to give II (R = N-oxido-2-methyl-3-pyridylmethyl). Data for biol. activity of I were given.

IT **162045-26-3P 181269-27-2P 198401-48-8P**
198401-50-2P 198401-52-4P 198401-55-7P
198401-57-9P 198401-60-4P 198401-62-6P
198401-64-8P 198401-65-9P 198401-66-0P
198401-67-1P 198401-68-2P 198401-69-3P
198401-71-7P 198401-72-8P 198401-73-9P
198401-74-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-(1-benzoyl-4-piperidinyl)-3,1-benzoxazin-2-ones as oxytocin receptor antagonists)

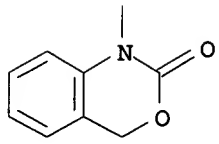
RN 162045-26-3 CAPLUS

CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L6 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:294083 CAPLUS
DOCUMENT NUMBER: 123:285785
TITLE: Preparation of aromatic amidine derivatives as inhibitors of human blood coagulation factor for treatment and prevention of influenza
INVENTOR(S): Ikeuchi, Kyoshi; Takase, Hiroyuki; Murakami, Yoichi
PATENT ASSIGNEE(S): Daiichi Seiyaku Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 79 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

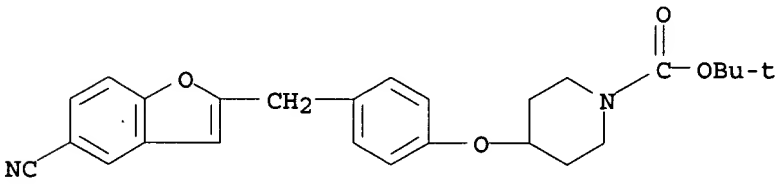
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06227971	A2	19940816	JP 1993-17536	19930204 <--
JP 3457694	B2	20031020		

PRIORITY APPLN. INFO.: JP 1993-17536 19930204

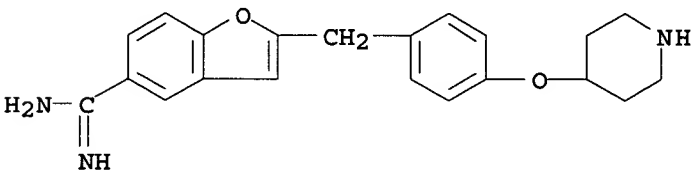
09922619

OTHER SOURCE(S): MARPAT 123:285785
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R1 = H, alkoxy; R2 = H, alkyl, alkoxy, CO2H, alkoxycarbonyl, carboxyalkyl, alkoxycarbonylalkyl; R3 = H, CO2H, alkoxycarbonyl, carboxyalkyl, alkoxycarbonylalkyl, carboxyalkoxy, alkoxycarbonylalkoxy; R4 = H, OH, alkyl, alkoxy; A = C1-4 alkylene which may be substituted by 1-2 of hydroxyalkyl, CO2H, alkoxycarbonyl, carboxyalkyl, and alkoxycarbonylalkyl; X = single bond, O, S, CO; Y = 5- or 6-membered (un)saturated carbocyclyl or heterocyclyl, NH2, or aminoalkyl each of which may be substituted; ring Z = pyrrole, 1,2-dihydropyrrole, furan, thiofuran, imidazole, oxazole, thiazole, benzene, tetrahydrobenzene, or cyclopentadiene ring] are prepared **Thus**, Et 3-(5-cyano-2-benzofuranyl)-2-(4-hydroxyphenyl)propionate was condensed with (2S)-1-tert-butoxycarbonyl-2-pyrrolidinemethanol in the presence of Ph3P and di-Et azodicarboxylate in THF to give ether (II; R = cyano, R5 = Me3CO2C) which was treated with HCl(g) in ethanol and then with NH3 in EtOH to give amidine II.2HCl (R = amidino, R5 = H). Title compound (III.2HCl) showed IC50 of 5.04 μg/mL against human blood coagulation.

IT **150611-22-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for preparation of aromatic amidine derivs. as inhibitors of human blood coagulation factor)
RN 150611-22-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[(5-cyano-2-benzofuranyl)methyl]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **150612-46-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aromatic amidine derivs. as inhibitors of human blood coagulation factor for treatment and prevention of influenza)
RN 150612-46-7 CAPLUS
CN 5-Benzofurancarboximidamide, 2-[[4-(4-piperidinyloxy)phenyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	85.81	241.44

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-11.78	-11.78

STN INTERNATIONAL LOGOFF AT 10:56:26 ON 25 MAY 2004

Page 1 10:35 <golam shameem> 05/25/2004

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1626gms

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS	4	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS	5	FEB 05	German (DE) application and patent publication number format changes
NEWS	6	MAR 03	MEDLINE and LMEDLINE reloaded
NEWS	7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	8	MAR 03	FRANCEPAT now available on STN
NEWS	9	MAR 29	Pharmaceutical Substances (PS) now available on STN
NEWS	10	MAR 29	WPIFV now available on STN
NEWS	11	MAR 29	New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS	12	APR 26	PROMT: New display field available
NEWS	13	APR 26	IFIPAT/IFIUDB/IFICDB: New super search and display field available
NEWS	14	APR 26	LITALERT now available on STN
NEWS	15	APR 27	NLDB: New search and display fields available
NEWS	16	May 10	PROUSDDR now available on STN
NEWS	17	May 19	PROUSDDR: One FREE connect hour, per account, in both May and June 2004
NEWS	18	May 12	EXTEND option available in structure searching
NEWS	19	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS	20	May 17	FRFULL now available on STN

NEWS EXPRESS	MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:23:07 ON 25 MAY 2004

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:23:23 ON 25 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 MAY 2004 HIGHEST RN 685504-43-2
DICTIONARY FILE UPDATES: 24 MAY 2004 HIGHEST RN 685504-43-2

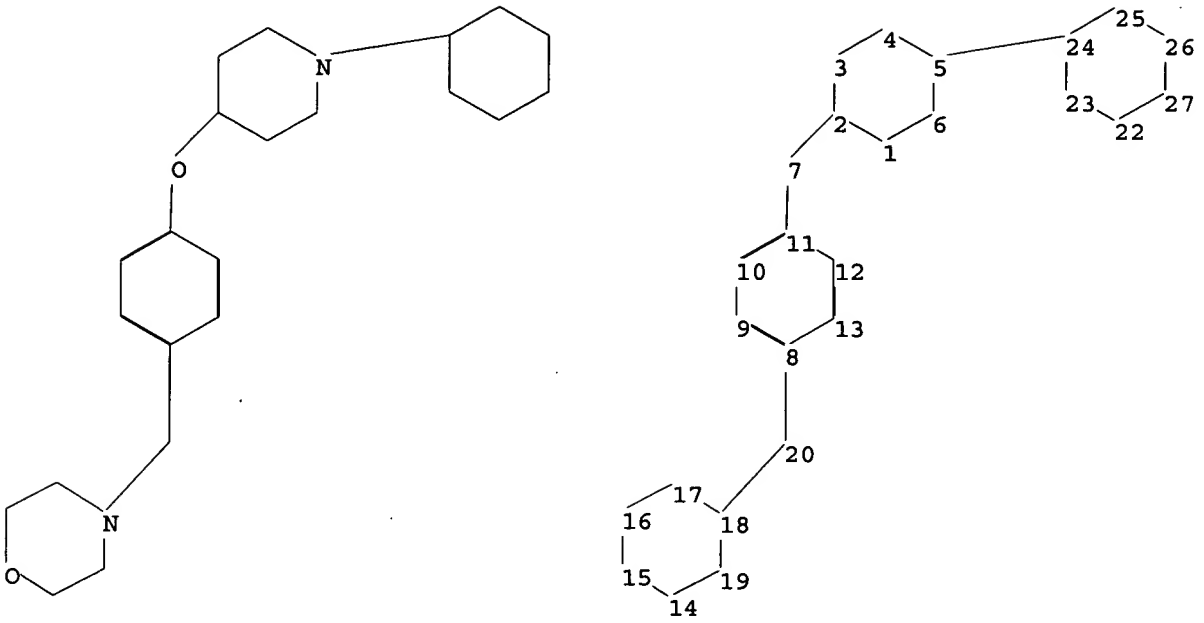
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\09922619.str

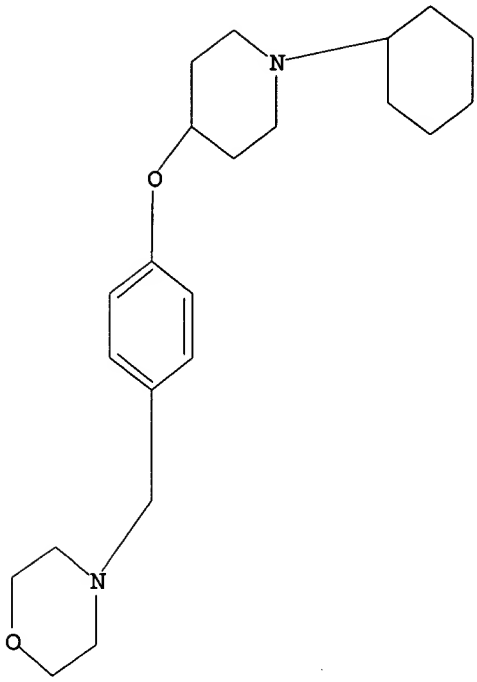


chain nodes :
7 20
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18 19 22 23 24 25 26 27
chain bonds :
2-7 5-24 7-11 8-20 18-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 14-15 14-19 15-16 16-17 17-18 18-19 22-23 22-27 23-24 24-25 25-26 26-27
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 4-5 5-6 5-24 7-11 14-15 14-19 15-16 16-17 17-18 18-19 18-20
exact bonds :
8-20 22-23 22-27 23-24 24-25 25-26 26-27
normalized bonds :
8-9 8-13 9-10 10-11 11-12 12-13
isolated ring systems :
containing 1 : 8 : 14 : 22 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 10:23:38 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      2 TO ITERATE

100.0% PROCESSED       2 ITERATIONS                              0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE   **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:             2 TO      124
PROJECTED ANSWERS:                0 TO        0

L2             0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 10:23:44 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     63 TO ITERATE

100.0% PROCESSED      63 ITERATIONS                              1 ANSWERS
SEARCH TIME: 00.00.01

L3             1 SEA SSS FUL L1

=> FIL CAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             155.42     155.63

FILE 'CAPLUS' ENTERED AT 10:23:50 ON 25 MAY 2004
```

FILE COVERS 1907 - 25 May 2004 VOL 140 ISS 22
FILE LAST UPDATED: 24 May 2004 (20040524/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 1 L3

=> d l54ibib abs hitstr tot
'L54IBIB' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS --------- GI and AB
ALL --------- BIB, AB, IND, RE
APPS -------- AI, PRAI
BIB --------- AN, plus Bibliographic Data and PI table (default)
CAN --------- List of CA abstract numbers without answer numbers
CBIB -------- AN, plus Compressed Bibliographic Data
DALL -------- ALL, delimited (end of each field identified)
DMAX -------- MAX, delimited for post-processing
FAM --------- AN, PI and PRAI in table, plus Patent Family data
FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers; SCAN must be entered on the same line as the DISPLAY, e.g., D SCAN or DISPLAY SCAN)
STD --------- BIB, IPC, and NCL

IABS -------- ABS, indented with text labels
IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations

SIBIB ------- IBIB, no citations

HIT --------- Fields containing hit terms
HITIND ------ IC, ICA, ICI, NCL, CC and index field (ST and IT) containing hit terms
HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its structure diagram, plus NTE and SEQ fields
KWIC -------- Hit term plus 20 words on either side
OCC --------- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.
ENTER DISPLAY FORMAT (BIB):end

=> d his

(FILE 'HOME' ENTERED AT 10:23:07 ON 25 MAY 2004)

FILE 'REGISTRY' ENTERED AT 10:23:23 ON 25 MAY 2004
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 1 S L1 SSS FULL

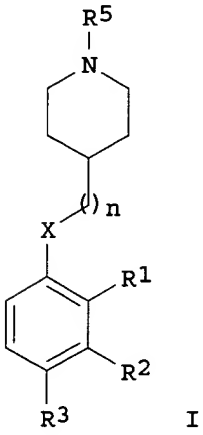
FILE 'CAPLUS' ENTERED AT 10:23:50 ON 25 MAY 2004
L4 1 S L3

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:122957 CAPLUS
DOCUMENT NUMBER: 136:167285
TITLE: Preparation of aryloxypiperidines as histamine H3 receptor antagonists
INVENTOR(S): Apodaca, Richard; Carruthers, Nicholas I.; Dvorak, Curt A.; Shah, Chandravadan R.; Xiao, Wei
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002012190 A2 20020214 WO 2001-US24660 20010806
WO 2002012190 A3 20020801
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2001081121 A5 20020218 AU 2001-81121 20010806
US 2002040024 A1 20020404 US 2001-922619 20010806
EP 1311482 A2 20030521 EP 2001-959582 20010806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
BR 2001013161 A 20040406 BR 2001-13161 20010806
JP 2004511438 T2 20040415 JP 2002-518168 20010806
PRIORITY APPLN. INFO.: US 2000-223768P P 20000808
US 2001-922619 A 20010806
WO 2001-US24660 W 20010806
OTHER SOURCE(S): MARPAT 136:167285
GI

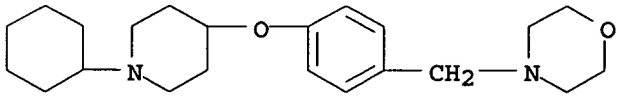


I

AB Title compds. I [X = O; n = 0-3; R5 = alk(en)yl, cycloalkylalkyl, phenylalk(en)yl, alkylcarbonylalkyl; R1-3 = G, W, wherein one of the remaining two is selected from H and halo and the third being H; G = alk(en/yn)yl-N-containing heterocycle, etc.; W = CN, CHO, halo, heterocyclyl, phenoxy, Ph, etc.] were prepared For example, a suspension of 1-isopropylpiperidin-4-ol (preparation given), 4-fluorobenzaldehyde and Cs2CO3 were heated to 100° in DMF for 22 h resulting in the formation of 4-[(1-isopropylpiperidin-4-yl)oxy]benzaldehyde (II). II had Ki = 36 nM for the histamine H3 receptor. I are useful in the treatment of histamine-mediated conditions.

IT **397276-59-4P**, 4-[4-((1-Cyclohexylpiperidin-4-yl)oxy)benzyl]morpholine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation of aryloxypiperidines as histamine H3 receptor antagonists)

RN 397276-59-4 CAPLUS
CN Morpholine, 4-[[4-[(1-cyclohexyl-4-piperidinyl)oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	7.82	163.45

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.69	-0.69

FILE 'REGISTRY' ENTERED AT 10:28:01 ON 25 MAY 2004

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 MAY 2004 HIGHEST RN 685504-43-2
DICTIONARY FILE UPDATES: 24 MAY 2004 HIGHEST RN 685504-43-2

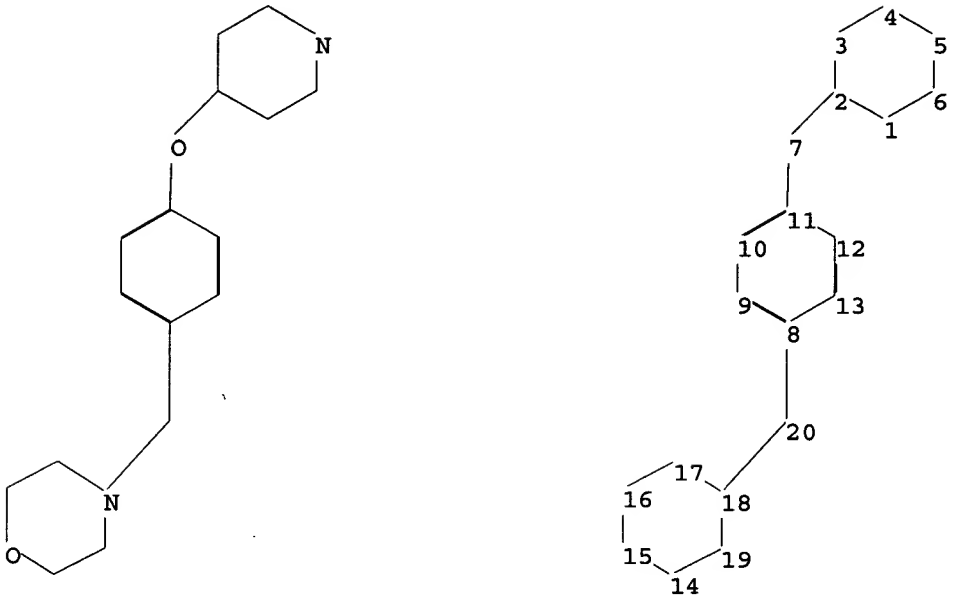
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\09922619a.str

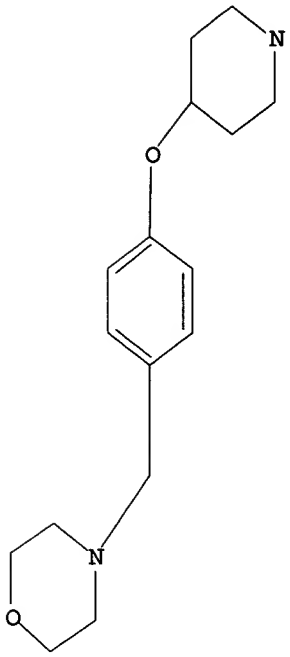


chain nodes :
7 20
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18 19
chain bonds :
2-7 7-11 8-20 18-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 14-15 14-19
15-16 16-17 17-18 18-19
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 4-5 5-6 7-11 14-15 14-19 15-16 16-17 17-18 18-19
18-20
exact bonds :
8-20
normalized bonds :
8-9 8-13 9-10 10-11 11-12 12-13
isolated ring systems :
containing 1 : 8 : 14 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:CLASS

L5 STRUCTURE UPLOADED

=> d 15
L5 HAS NO ANSWERS
L5 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l5
SAMPLE SEARCH INITIATED 10:28:28 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     47 TO ITERATE

100.0% PROCESSED      47 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          529 TO     1351
PROJECTED ANSWERS:               0 TO        0

L6             0 SEA SSS SAM L5

=> s l5 sss full
FULL SEARCH INITIATED 10:28:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    724 TO ITERATE

100.0% PROCESSED     724 ITERATIONS                              13 ANSWERS
SEARCH TIME: 00.00.01

L7            13 SEA SSS FUL L5

=> FIL CAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              155.42     318.87

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
```

CA SUBSCRIBER PRICE 0.00 -0.69

FILE 'CAPLUS' ENTERED AT 10:28:38 ON 25 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 25 May 2004 VOL 140 ISS 22
FILE LAST UPDATED: 24 May 2004 (20040524/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

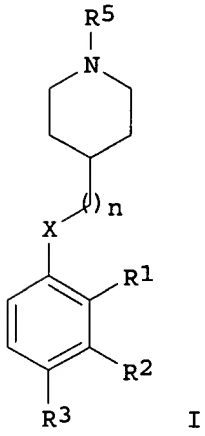
=> s l7
L8 2 L7

=> d l8 ibib abs hitstr tot

L8 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:122957 CAPLUS
DOCUMENT NUMBER: 136:167285
TITLE: Preparation of aryloxypiperidines as histamine H3 receptor antagonists
INVENTOR(S): Apodaca, Richard; Carruthers, Nicholas I.; Dvorak, Curt A.; Shah, Chandravadan R.; Xiao, Wei
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012190	A2	20020214	WO 2001-US24660	20010806
WO 2002012190	A3	20020801		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001081121	A5	20020218	AU 2001-81121	20010806
US 2002040024	A1	20020404	US 2001-922619	20010806

EP 1311482 A2 20030521 EP 2001-959582 20010806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
BR 2001013161 A 20040406 BR 2001-13161 20010806
JP 2004511438 T2 20040415 JP 2002-518168 20010806
PRIORITY APPLN. INFO.: US 2000-223768P P 20000808
US 2001-922619 A 20010806
WO 2001-US24660 W 20010806
OTHER SOURCE(S): MARPAT 136:167285
GI



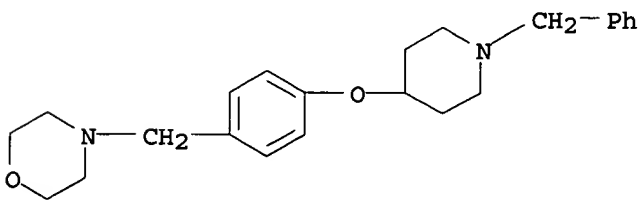
I

AB Title compds. I [X = O; n = 0-3; R5 = alk(en)yl, cycloalkylalkyl, phenylalk(en)yl, alkylcarbonylalkyl; R1-3 = G, W, wherein one of the remaining two is selected from H and halo and the third being H; G = alk(en/yn)yl-N-containing heterocycle, etc.; W = CN, CHO, halo, heterocyclyl, phenoxy, Ph, etc.] were prepared For example, a suspension of 1-isopropylpiperidin-4-ol (preparation given), 4-fluorobenzaldehyde and Cs2CO3 were heated to 100° in DMF for 22 h resulting in the formation of 4-[(1-isopropylpiperidin-4-yl)oxy]benzaldehyde (II). II had Ki = 36 nM for the histamine H3 receptor. I are useful in the treatment of histamine-mediated conditions.

IT **397277-27-9P**, 4-[4-((1-Benzylpiperidin-4-yl)oxy)benzyl]morpholine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug; preparation of aryloxypiperidines as histamine H3 receptor antagonists)

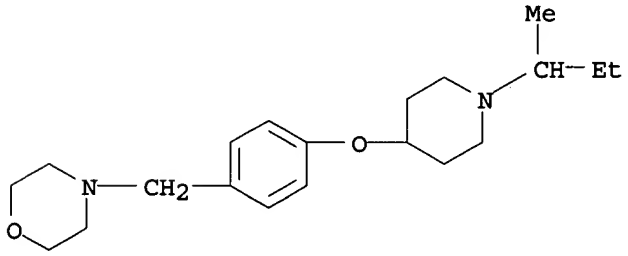
RN 397277-27-9 CAPLUS

CN Morpholine, 4-[[4-[[1-(phenylmethyl)-4-piperidinyl]oxy]phenyl]methyl]-(9CI) (CA INDEX NAME)

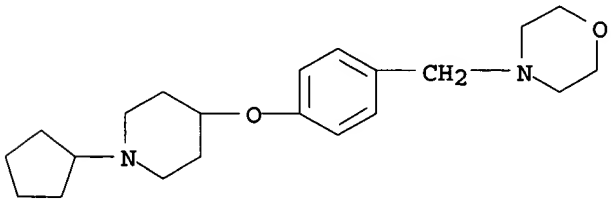


IT **397275-69-3P**, 4-[4-((1-sec-Butylpiperidin-4-yl)oxy)benzyl]morpholine **397276-24-3P**, 4-[4-((1-Cyclopentylpiperidin-4-yl)oxy)benzyl]morpholine **397276-53-8P**, 4-[4-((1-Isopropylpiperidin-4-yl)oxy)benzyl]morpholine **397276-59-4P**, 4-[4-((1-Cyclohexylpiperidin-4-yl)oxy)benzyl]morpholine **397276-63-0P**, 4-[4-((1-Isobutylpiperidin-4-yl)oxy)benzyl]morpholine **397276-67-4P**, 4-[4-((1-Propylpiperidin-4-yl)oxy)benzyl]morpholine **397277-16-6P**, 4-(4-((Morpholin-4-yl)methyl)phenoxy)piperidine-1-carboxylic acid tert-butyl ester **397277-19-9P**, 4-[4-(Piperidin-4-yloxy)benzyl]morpholine **397277-31-5P**, 4-[4-(4-((Morpholin-4-yl)methyl)phenoxy)piperidin-1-yl]butan-2-one **397277-34-8P**, 4-[4-((1-(Cyclohexylmethyl)piperidin-4-yl)oxy)benzyl]morpholine **397277-37-1P**, 4-[4-[1-(1-Methylheptyl)piperidin-4-yloxy]benzyl]morpholine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation of aryloxypiperidines as histamine H3 receptor antagonists)

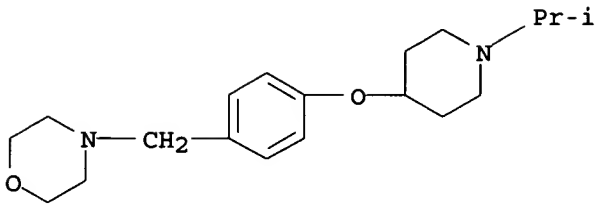
RN 397275-69-3 CAPLUS
CN Morpholine, 4-[[4-[[1-(1-methylpropyl)-4-piperidinyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



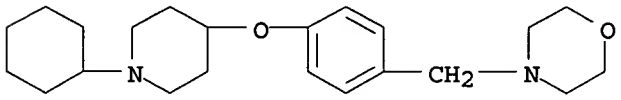
RN 397276-24-3 CAPLUS
CN Morpholine, 4-[[4-[(1-cyclopentyl-4-piperidinyl)oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



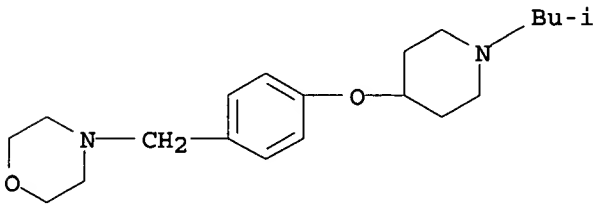
RN 397276-53-8 CAPLUS
CN Morpholine, 4-[[4-[[1-(1-methylethyl)-4-piperidinyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



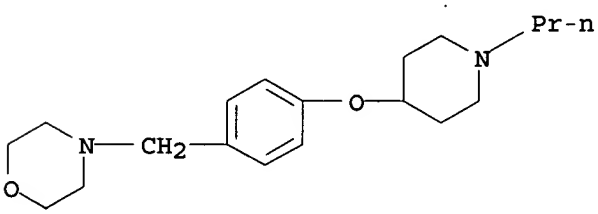
RN 397276-59-4 CAPLUS
CN Morpholine, 4-[[4-[(1-cyclohexyl-4-piperidinyl)oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



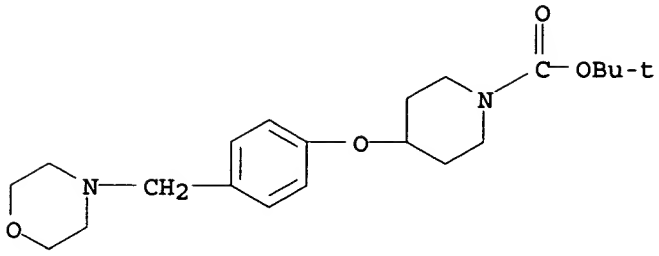
RN 397276-63-0 CAPLUS
CN Morpholine, 4-[[4-[[1-(2-methylpropyl)-4-piperidinyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



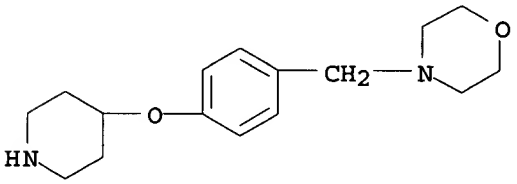
RN 397276-67-4 CAPLUS
CN Morpholine, 4-[[4-[(1-propyl-4-piperidinyl)oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



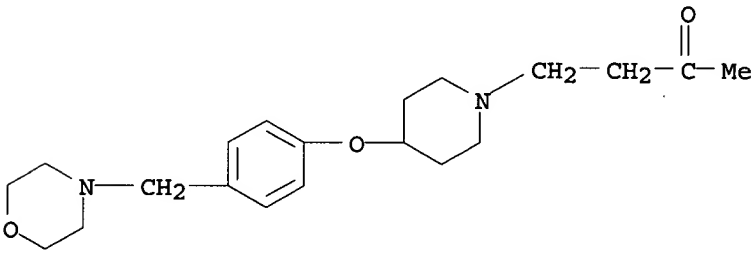
RN 397277-16-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-(4-morpholinylmethyl)phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



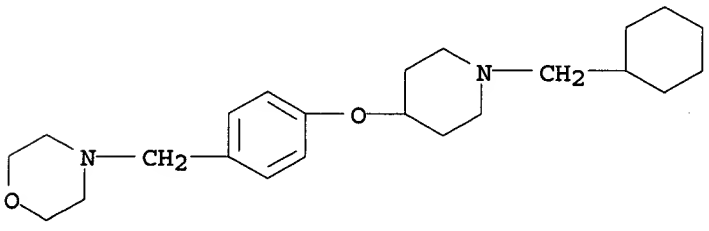
RN 397277-19-9 CAPLUS
CN Morpholine, 4-[[4-(4-piperidinyloxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



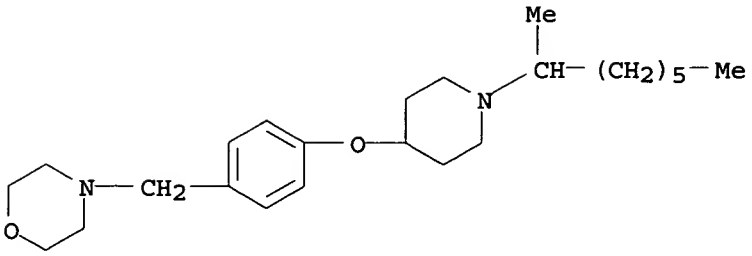
RN 397277-31-5 CAPLUS
CN 2-Butanone, 4-[4-[4-(4-morpholinylmethyl)phenoxy]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 397277-34-8 CAPLUS
CN Morpholine, 4-[[4-[[1-(cyclohexylmethyl)-4-piperidinyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 397277-37-1 CAPLUS
CN Morpholine, 4-[[4-[[1-(1-methylheptyl)-4-piperidinyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:115118 CAPLUS
DOCUMENT NUMBER: 134:163065
TITLE: Preparation of hydroxamic acid and N-formyl hydroxylamine derivatives as antibacterial agents
INVENTOR(S): Pratt, Lisa Marie; Keavey, Kenneth Noel; Pain, Gilles Denis; Mounier, Laurent Franck
PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Limited, UK
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010834	A2	20010215	WO 2000-GB3078	20000810
WO 2001010834	A3	20010628		
W: AE, AU, BR, BY, CA, CN, CZ, DZ, EE, GB, GE, HU, ID, IL, IN, IS, JP, KE, KR, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, US, VN, ZA, ZW				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1202968	A2	20020508	EP 2000-949820	20000810
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY				
BR 2000013112	A	20020611	BR 2000-13112	20000810
TR 200200360	T2	20020621	TR 2002-20020036	20000810
JP 2003506438	T2	20030218	JP 2001-515301	20000810
AU 766881	B2	20031023	AU 2000-63080	20000810
ZA 2002001093	A	20030507	ZA 2002-1093	20020207
NO 2002000621	A	20020409	NO 2002-621	20020208

PRIORITY APPLN. INFO.: GB 1999-18869 A 19990810
GB 1999-27093 A 19991116
WO 2000-GB3078 W 20000810
OTHER SOURCE(S): MARPAT 134:163065

AB Selected compds. QCH(R1)CH(R2)C(O)A (I) and pharmaceutical and veterinary compns. comprising such compds. are antibacterial agents with respect to a range of Gram-pos. and Gram-neg. organisms. In I, Q = -N(OH)C(O)H or -C(O)NH(OH); R1 = H, C1-C6 alkyl or C1-C6 alkyl substituted by ≥ halogen atoms, or, except when Q is -N(OH)C(O)H, hydroxy, C1-C6 alkoxy, C1-C6 alkenyloxy, amino, C1-C6 alkylamino, or di-(C1-C6 alkyl)amino; R2 = substituted or unsubstituted C1-C6 alkyl, cycloalkyl(C1-C6 alkyl)- or aryl(C1-C6 alkyl)-; and A = -NHCHR4C(O)NR5R6 or -NR5R6, wherein R4 = side chain of a natural or non-natural α-amino acid, and R5 and R6 when taken together with the N atom to which they are attached form a saturated heterocyclic 1st ring of 5 to 7 atoms (piperidine and piperazine in the

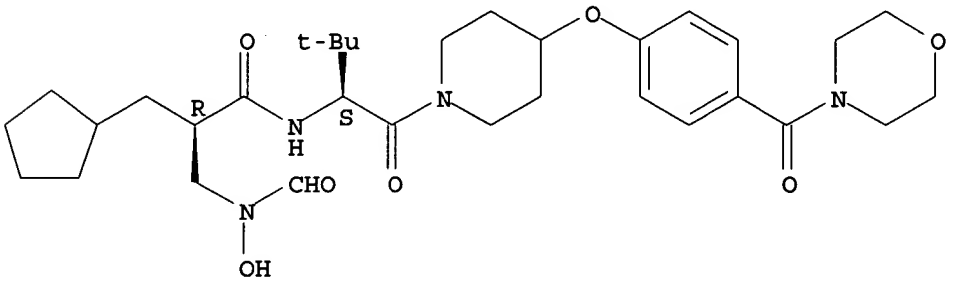
examples). In general, the compds. of the examples are more active against the Gram pos. S. capitis than the Gram neg. E. coli. Test results are also reported for 2R-cyclopentylmethyl-3-(formylhydroxyamino)-N-(1S-{4-[4-(4-hydroxypiperidine-1-carbonyl)phenoxy]piperidine-1-carbonyl}-2,2-dimethylpropyl)propionamide against certain respiratory tract pathogens. Although the methods of preparation are not claimed, .apprx.95 example prepns. are included.

IT **325796-58-5P**, 2R-Cyclopentylmethyl-N-(2,2-dimethyl-1S-{4-[4-(morpholine-4-carbonyl)phenoxy]piperidine-1-carbonyl}propyl)-3-(formylhydroxyamino)propionamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxamic acid and N-formyl hydroxylamine derivs. as antibacterial agents)

RN 325796-58-5 CAPLUS

CN Cyclopentanepropanamide, N-[(1S)-2,2-dimethyl-1-[[4-[4-(4-morpholinylcarbonyl)phenoxy]-1-piperidinyl]carbonyl]propyl]-α-[(formylhydroxyamino)methyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	11.70	330.57

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.39	-2.08

FILE 'REGISTRY' ENTERED AT 10:31:24 ON 25 MAY 2004

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 MAY 2004 HIGHEST RN 685504-43-2
DICTIONARY FILE UPDATES: 24 MAY 2004 HIGHEST RN 685504-43-2

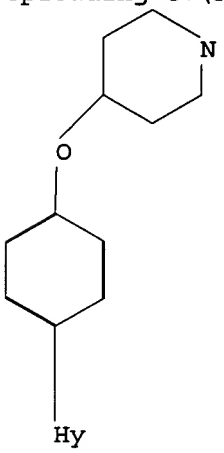
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

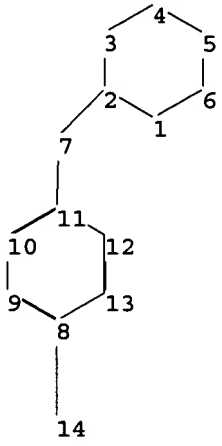
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\09922619b.str



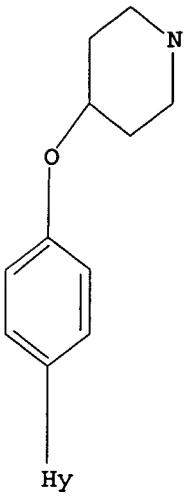


chain nodes :
7 14
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 13
chain bonds :
2-7 7-11 8-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 4-5 5-6 7-11 8-14
normalized bonds :
8-9 8-13 9-10 10-11 11-12 12-13
isolated ring systems :
containing 1 : 8 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS

L9 STRUCTURE UPLOADED

=> d l9
L9 HAS NO ANSWERS
L9 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l9
SAMPLE SEARCH INITIATED 10:31:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   4247 TO ITERATE

 23.5% PROCESSED    1000 ITERATIONS                                 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         81033 TO    88847
PROJECTED ANSWERS:                2 TO      343

L10           2 SEA SSS SAM L9

=> s l9 sss full
FULL SEARCH INITIATED 10:31:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  85970 TO ITERATE

100.0% PROCESSED   85970 ITERATIONS                            220 ANSWERS
SEARCH TIME: 00.00.02

L11         220 SEA SSS FUL L9

=> FIL CAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             155.42     485.99

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                               0.00      -2.08

FILE 'CAPLUS' ENTERED AT 10:32:02 ON 25 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
```

FILE COVERS 1907 - 25 May 2004 VOL 140 ISS 22
FILE LAST UPDATED: 24 May 2004 (20040524/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l11
L12          50 L11

=> s l12 and py<=2000
      20615729 PY<=2000
L13          19 L12 AND PY<=2000

=> s l13 and thu
            137 THU
        2156919 THUS
        2157041 THU
                (THU OR THUS)
L14          14 L13 AND THU

=> d l14 ibib abs hitstr tot
```

L14 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:312012 CAPLUS
DOCUMENT NUMBER: 136:340996
TITLE: Preparation of sulfamides as metalloprotease inhibitors
INVENTOR(S): Broka, Chris Allen; Campbell, Jeffrey Allen; Castelhano, Arlindo Lucas; Chen, Jian Jeffrey; Hendricks, Robert Than; Melnick, Michael Joseph; Walker, Keith Adrian Murray
PATENT ASSIGNEE(S): Syntex (U.S.A.) LLC, USA; Agouron Pharmaceuticals, Inc.
SOURCE: U.S., 47 pp., Cont.-in-part of U.S. 6,143,744. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6376506	B1	20020423	US 1999-469677	19991222
AU 9866140	A1	19980818	AU 1998-66140	19980114 <--
AU 730127	B2	20010222		
EP 958287	A1	19991124	EP 1998-907943	19980114 <--

EP 958287	B1	20020911			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
BR 9807508	A	20000321	BR 1998-7508	19980114	<--
NZ 336625	A	20010427	NZ 1998-336625	19980114	
JP 2001523222	T2	20011120	JP 1998-531537	19980114	
AT 223909	E	20020915	AT 1998-907943	19980114	
ZA 9800376	A	19980723	ZA 1998-376	19980116	<--
US 5998412	A	19991207	US 1998-9951	19980121	<--
NO 9903587	A	19990922	NO 1999-3587	19990722	<--
MX 9906822	A	20000131	MX 1999-6822	19990722	<--
US 6130220	A	20001010	US 1999-369677	19990805	<--
US 6143744	A	20001107	US 1999-369501	19990805	<--

PRIORITY APPLN. INFO.:	US 1997-36714P	P	19970123
	US 1997-62209P	P	19971016
	US 1998-9951	A3	19980121
	US 1999-369501	A2	19990805
	WO 1998-EP180	W	19980114

OTHER SOURCE(S): MARPAT 136:340996

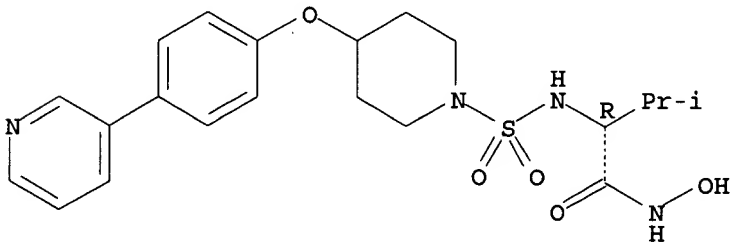
AB Sulfamides RCOCR1R2NR3SO2NR4R5 [R = OH, NHOH or N/O-alkyl or -aryl derivs.; R1, R2, R3 = H, alkyl, alkenyl, haloalkyl, cycloalkyl, cycloalkylalkyl, (hetero)aryl, acylalkyl, etc.; R1R2C may be a (hetero)carbocycle or R3 together with R1 or R2 form a heterocycloamino group; R4, R5 = H, alkyl, heteroalkyl, cycloalkyl, cycloalkylalkyl, aryl, (hetero)aralkyl or -aralkenyl; R4R5N may be a heterocycloamino group or R4 or R5 together with R3 forms an alkylene group (with provisos)], as individual isomers or mixts. of isomers, or their pharmaceutically-acceptable salts or prodrugs were prepared as inhibitors of metalloproteases. **Thus**, 2-(R)-[(1,2,3,4-tetrahydro-β-carbolino-2-sulfonyl)amino]propionic acid (claimed compound) was prepared by treating D-alanine Me ester hydrochloride with chlorosulfonyl isocyanate/2-chloroethanol, reaction of the oxazolidone formed with 1,2,3,4-tetrahydro-β-carboline, and saponification Metalloprotease and TNF-α inhibitory test data are tabulated.

IT **210914-01-5P 210914-14-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfamides as metalloprotease inhibitors)

RN 210914-01-5 CAPLUS

CN Butanamide, N-hydroxy-3-methyl-2-[[[4-[4-(3-pyridinyl)phenoxy]-1-piperidinyl]sulfonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

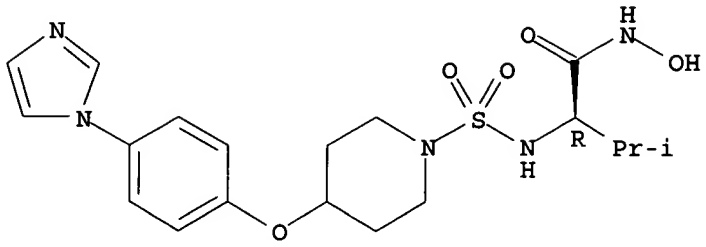
Absolute stereochemistry.



RN 210914-14-0 CAPLUS

CN Butanamide, N-hydroxy-2-[[[4-[4-(1H-imidazol-1-yl)phenoxy]-1-piperidinyl]sulfonyl]amino]-3-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

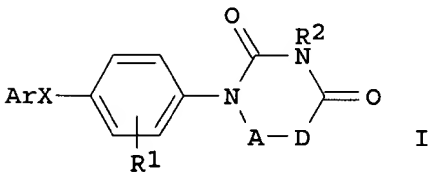


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:98341 CAPLUS
DOCUMENT NUMBER: 132:137414
TITLE: Treatment of equine protozoan myeloencephalitis using triazinediones
INVENTOR(S): Russell, Meri Charmyne
PATENT ASSIGNEE(S): Mortar & Pestle Veterinary Pharmacy, Inc., USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000006172	A1	20000210	WO 1998-US16649	19980812 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9890171	A1	20000221	AU 1998-90171	19980812 <--

PRIORITY APPLN. INFO.: US 1998-122375 A 19980727
WO 1998-US16649 W 19980812
OTHER SOURCE(S): MARPAT 132:137414
GI



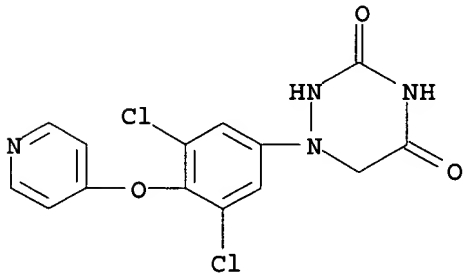
I

AB Equine protozoan myeloencephalitis (EPM) is treated by administration of ≥1 triazinedione, e.g., [I; R1 = OH, SH, CO2H, SO3H, alkoxy, thioalkoxy, (substituted) (unsatd.) alkyl, aryl, etc.; R2 = (unsatd.)

(substituted) alkyl, aryl; AD = NCR3, NR5CHR4; R3, R4 = H, cyano, NO2, CO2H, alkylene, (substituted) aryl, etc.; R5 = (substituted) alkylene, aryl; X = O, S, SO, SO2, CO, (substituted) alkylene; Ar = (substituted) aryl, heteroaryl] (no data). **Thus**, 4-chlorobenzeneacetonitrile in THF was added dropwise to a mixture of 1,2,3-trichloro-5-nitrobenzene, aqueous NaOH, and N,N,N-triethylbenzenemethanaminium chloride in THF followed by stirring for 4 h at 50° to give 93.3% 2,6-dichloro-α-(4-chlorophenyl)-4-nitrobenzeneacetonitrile, which can be converted to diclazuril.

IT **256649-73-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of equine protozoan myeloencephalitis using triazinediones)

RN 256649-73-7 CAPLUS
CN 1,2,4-Triazine-3,5(2H,4H)-dione, 1-[3,5-dichloro-4-(4-pyridinyloxy)phenyl]dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:84604 CAPLUS
DOCUMENT NUMBER: 132:141951
TITLE: Pharmaceutical compositions containing ACAT and MMP inhibitors for the treatment of atherosclerotic lesions
INVENTOR(S): Bocan, Thomas Michael Andrew
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000004892	A2	20000203	WO 1999-US13948	19990618 <--
WO 2000004892	A3	20000518		

W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

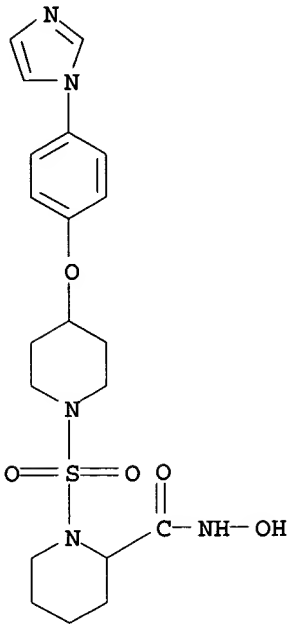
CA 2335062	AA	20000203	CA 1999-2335062	19990618	<--
AU 9947017	A1	20000214	AU 1999-47017	19990618	<--
BR 9912296	A	20010417	BR 1999-12296	19990618	
EP 1098662	A2	20010516	EP 1999-930483	19990618	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
TR 200100205	T2	20010521	TR 2001-20010020519990618		
EE 200100046	A	20020617	EE 2001-46	19990618	
JP 2002521328	T2	20020716	JP 2000-560885	19990618	
ZA 2001000294	A	20020110	ZA 2001-294	20010110	
BG 105162	A	20011231	BG 2001-105162	20010117	
NO 2001000291	A	20010118	NO 2001-291	20010118	
HR 2001000055	A1	20020430	HR 2001-55	20010119	
PRIORITY APPLN. INFO.:			US 1998-93639P	P 19980721	
			WO 1999-US13948	W 19990618	

AB Acyl-CoA:cholesterol acyltransferase (ACAT) and matrix metalloproteinase (MMP) inhibitors are coadministered for the reduction of both the macrophage and smooth muscle cell component of atherosclerotic lesions, **thus** impairing the expansion of existing lesions and the development of new lesions and for the prevention of plaque rupture and the promotion of lesion regression in a mammal. The direct antiatherosclerotic potential of the combination of ACAT inhibitor, [[2,4,6-tris-(1-methyl)phenyl]acetyl]-2,6-bis(1-methylethyl)phenyl sulfamic acid, and the HMG-CoA reductase inhibitor, simavastatin, in rabbits was studied. A tablet contained 2-(4'-bromobiphenyl-4-sulfonylamino)-3-Me butyric acid 25 ACAT compound lactose 50, corn starch 20, and magnesium stearate 5 mg.

IT **256647-65-1 256647-69-5 256647-70-8**
256647-73-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. containing ACAT and MMP inhibitors for treatment of atherosclerotic lesions)

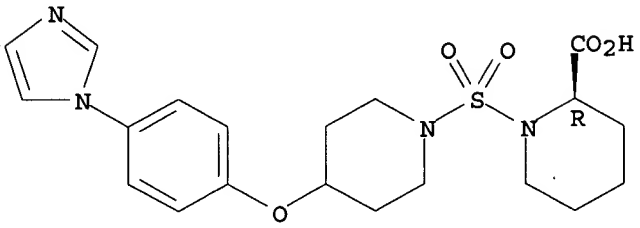
RN 256647-65-1 CAPLUS

CN 2-Piperidinecarboxamide, N-hydroxy-1-[[4-[4-(1H-imidazol-1-yl)phenoxy]-1-piperidinyl]sulfonyl]- (9CI) (CA INDEX NAME)



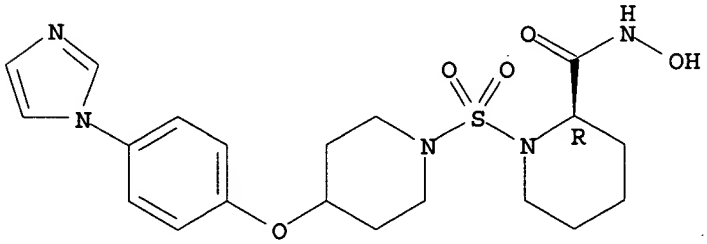
RN 256647-69-5 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-[[4-[4-(1H-imidazol-1-yl)phenoxy]-1-piperidinyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 256647-70-8 CAPLUS
CN 2-Piperidinecarboxamide, N-hydroxy-1-[[4-[4-(1H-imidazol-1-yl)phenoxy]-1-piperidinyl]sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

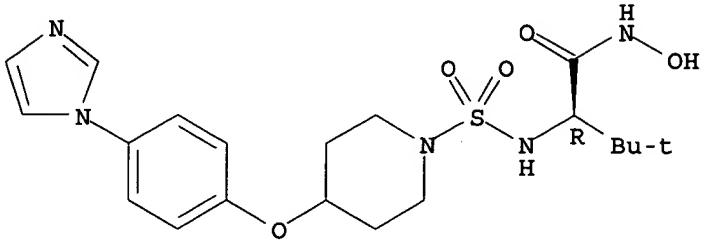
Absolute stereochemistry.



RN 256647-73-1 CAPLUS
CN Butanamide, N-hydroxy-2-[[[4-[4-(1H-imidazol-1-yl)phenoxy]-1-

piperidinyl]sulfonyl]amino]-3,3-dimethyl-, (2R)- (9CI) (CA INDEX NAME)

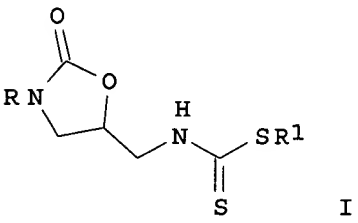
Absolute stereochemistry.



L14 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:747431 CAPLUS
DOCUMENT NUMBER: 131:351320
TITLE: Preparation of oxazolidinylmethyldithiocarbamic acid derivatives as bactericides and fungicides
INVENTOR(S): Yoshida, Toshihiko; Tokuyama, Tatsuteru; Tomita, Yayoi
PATENT ASSIGNEE(S): Hokurika Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 90 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11322729	A2	19991124	JP 1999-57378	19990304 <--

PRIORITY APPLN. INFO.: JP 1998-74982 19980309
OTHER SOURCE(S): MARPAT 131:351320
GI



I

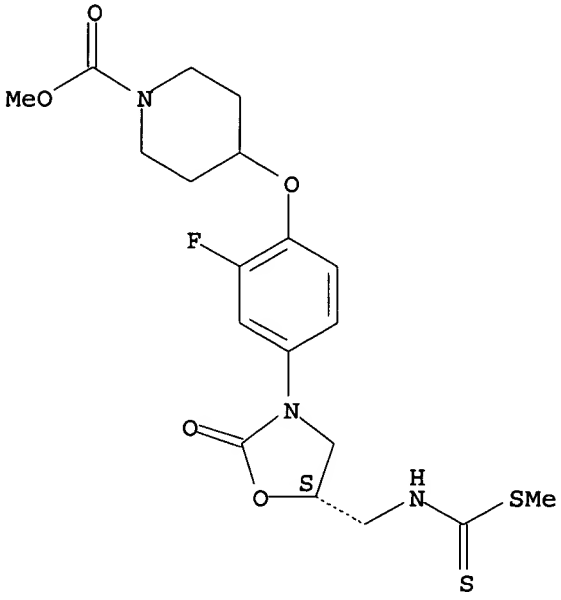
AB Title compds. I (R = Ph, substituted Ph; R1 = alkyl, cycloalkyl, aryl, aralkyl, etc.) and their salts, useful as bactericides and fungicides, are prepared **Thus**, reaction of (S)-5-aminomethyl-2-oxo-3-[4-(thiomorpholin-4-yl)phenyl]oxazolidine with CS2 in CH2Cl2 in the presence of Et3N gave, after treatment with MeI, Me (S)-N-[2-oxo-3-[4-(thiomorpholin-4-yl)phenyl]oxazolidin-5-yl]methyldithiocarbamate. Me (S)-N-[2-oxo-3-[3-fluoro-4-(thiomorpholin-4-yl)phenyl]oxazolidin-5-yl]methyldithiocarbamate showed bactericidal activity superior to that of linezolid.

IT **250374-24-4P 250374-26-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxazolidinylmethyldithiocarbamic acid derivs. as bactericides and fungicides)

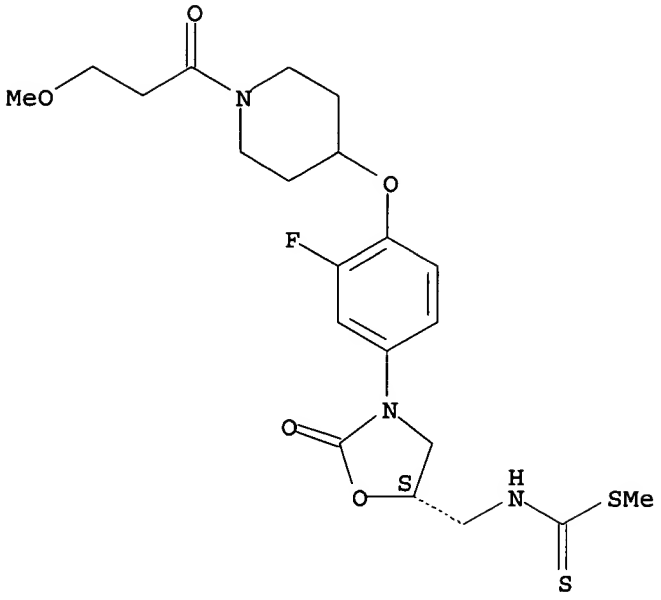
RN 250374-24-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-fluoro-4-[(5S)-5-[[[(methylthio)thioxomethyl]amino]methyl]-2-oxo-3-oxazolidinyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 250374-26-6 CAPLUS
CN Carbamodithioic acid, [[(5S)-3-[3-fluoro-4-[[1-(3-methoxy-1-oxopropyl)-4-piperidinyl]oxy]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

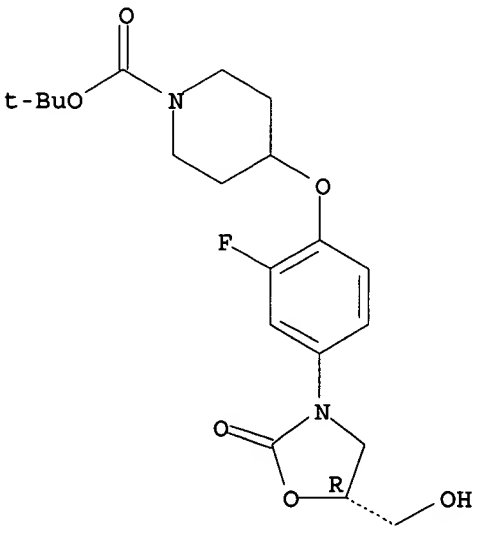


IT **250372-38-4P 250372-89-5P 250373-24-1P**
250373-27-4P 250373-37-6P 250373-38-7P
250373-65-0P 250373-67-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinylmethyldithiocarbamic acid derivs. as bactericides and fungicides)

RN 250372-38-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-fluoro-4-[(5R)-5-(hydroxymethyl)-2-oxo-3-oxazolidinyl]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

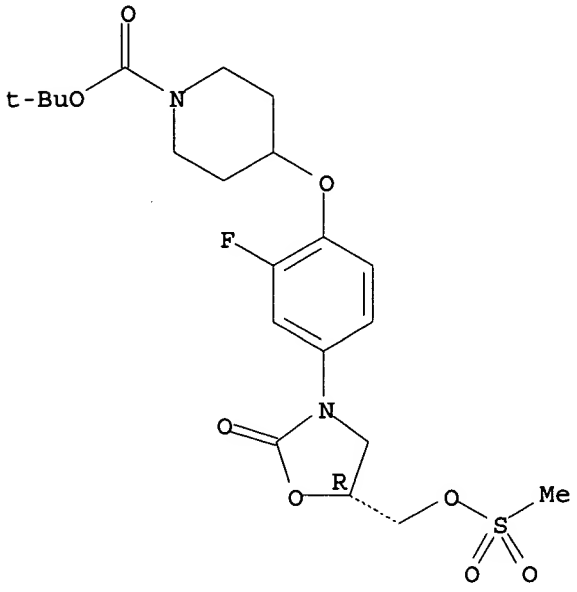


RN 250372-89-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-fluoro-4-[(5R)-5-

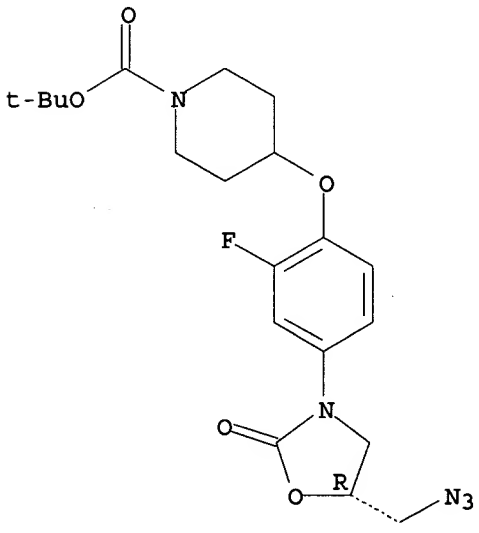
[[(methylsulfonyl)oxy]methyl]-2-oxo-3-oxazolidinyl]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



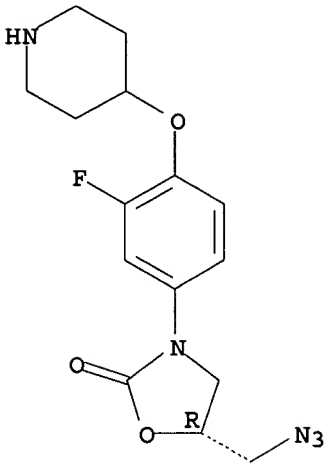
RN 250373-24-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[(5R)-5-(azidomethyl)-2-oxo-3-oxazolidinyl]-2-fluorophenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 250373-27-4 CAPLUS
CN 2-Oxazolidinone, 5-(azidomethyl)-3-[3-fluoro-4-(4-piperidinyloxy)phenyl]-, monohydrochloride, (5R)- (9CI) (CA INDEX NAME)

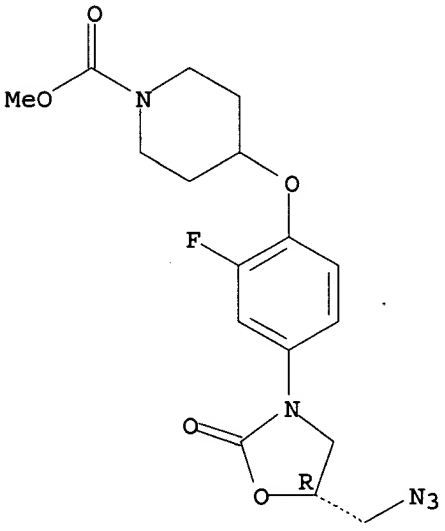
Absolute stereochemistry. Rotation (-).



● HCl

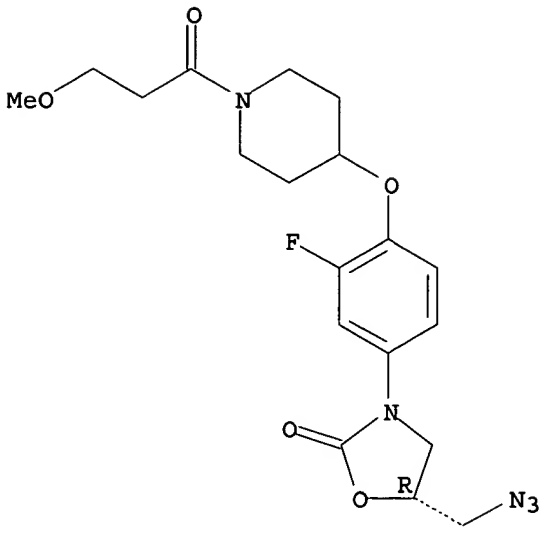
RN 250373-37-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[(5R)-5-(azidomethyl)-2-oxo-3-oxazolidinyl]-2-fluorophenoxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



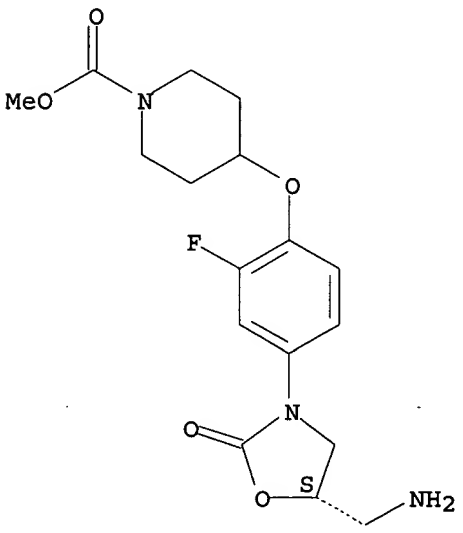
RN 250373-38-7 CAPLUS
CN Piperidine, 4-[4-[(5R)-5-(azidomethyl)-2-oxo-3-oxazolidinyl]-2-fluorophenoxy]-1-(3-methoxy-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



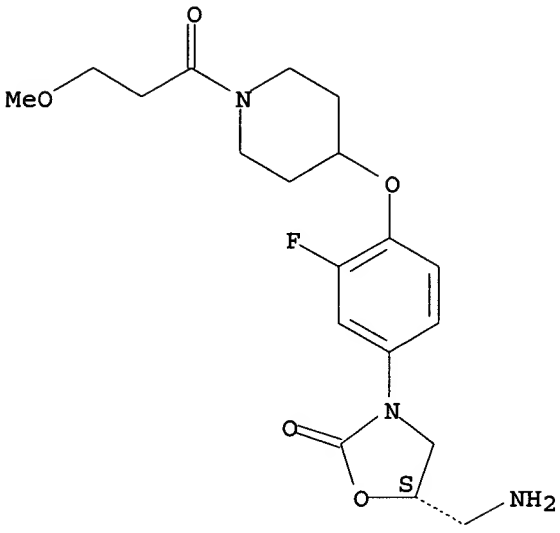
RN 250373-65-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[4-[(5S)-5-(aminomethyl)-2-oxo-3-oxazolidinyl]-2-fluorophenoxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 250373-67-2 CAPLUS
CN Piperidine, 4-[4-[(5S)-5-(aminomethyl)-2-oxo-3-oxazolidinyl]-2-fluorophenoxy]-1-(3-methoxy-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L14 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:550411 CAPLUS
DOCUMENT NUMBER: 129:175561
TITLE: Preparation of substituted 2-amino-6-(4-hydroxyphenyl)pyridines as nitric oxide synthase (NOS) inhibitors
INVENTOR(S): Lowe, John Adams, III; Nowakowski, Jolanta; Volkmann, Robert Alfred
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9834919	A1	19980813	WO 1998-IB112	19980129 <--
W: AU, BG, BR, CA, CN, CZ, GH, HU, ID, IL, IS, JP, KR, LK, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9855727	A1	19980826	AU 1998-55727	19980129 <--
AU 744313	B2	20020221		
EP 958282	A1	19991124	EP 1998-900635	19980129 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LV, FI, RO				
BR 9811093	A	20000718	BR 1998-11093	19980129 <--
JP 2000509408	T2	20000725	JP 1998-534048	19980129 <--
JP 3505189	B2	20040308		
CZ 292135	B6	20030813	CZ 1999-2769	19980129
TW 458969	B	20011011	TW 1998-87101311	19980203
AP 833	A	20000510	AP 1998-1178	19980205 <--
W: BW, GM, GH, KE, LS, MW, SD, SZ, UG, ZM, ZW				
ZA 9801017	A	19990810	ZA 1998-1017	19980209 <--

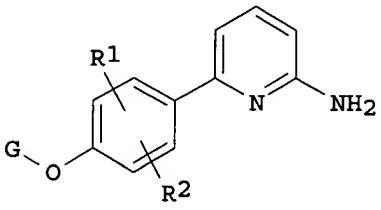
US 2001007873	A1	20010712	US 1998-127158	19980731	
BG 103633	A	20001130	BG 1999-103633	19990803	<--
NO 9903823	A	19990809	NO 1999-3823	19990809	<--
MX 9907398	A	20000731	MX 1999-7398	19990809	<--
AU 769233	B2	20040122	AU 2002-18724	20020227	
US 2003162765	A1	20030828	US 2003-371357	20030220	

PRIORITY APPLN. INFO.:

US 1997-37533P	P	19970210
AU 1998-55727	A3	19980129
WO 1998-IB112	W	19980129
US 1998-127158	B1	19980731

OTHER SOURCE(S): MARPAT 129:175561

GI



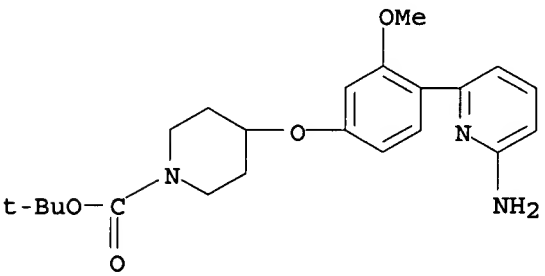
I

AB The title compds. [I; R1, R2 = H, C1-6 alkyl, C2-6 alkenyl, etc.; G = H, aminocarbonyl(C1-3)alkyl, C1-3alkylaminocarbonyl(C1-3)alkyl, etc.] and their salts, useful in the treatment and prevention of central nervous system (CNS) and other disorders such as migraine, inflammatory diseases, pain, Crohn's disease, Alzheimer's disease, epilepsy, anxiety, psychosis, arthritis, and Parkinsonism, were prepared **Thus**, 7-step synthesis of I [R1 = 2-MeO; R2 = H; G = H] which showed IC50 of < 10 μM for inhibition of either inducible or neuronal NOS, is described.

IT **211494-61-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted 2-amino-6-(4-hydroxyphenyl)pyridines as nitric oxide synthase (NOS) inhibitors)

RN 211494-61-0 CAPLUS

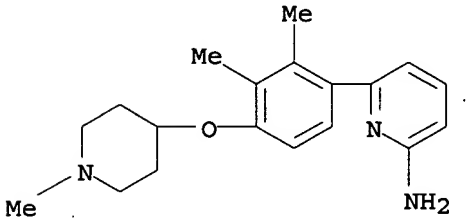
CN 1-Piperidinecarboxylic acid, 4-[4-(6-amino-2-pyridinyl)-3-methoxyphenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **211494-33-6P 211494-62-1P 211494-71-2P**
211495-07-7P 211495-08-8P 211495-13-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

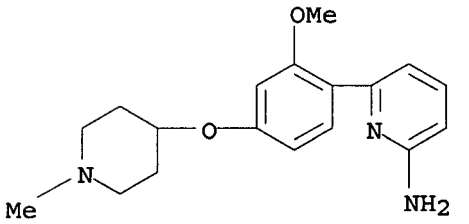
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted 2-amino-6-(4-hydroxyphenyl)pyridines as nitric oxide synthase (NOS) inhibitors)

RN 211494-33-6 CAPLUS
CN 2-Pyridinamine, 6-[2,3-dimethyl-4-[(1-methyl-4-piperidinyl)oxy]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

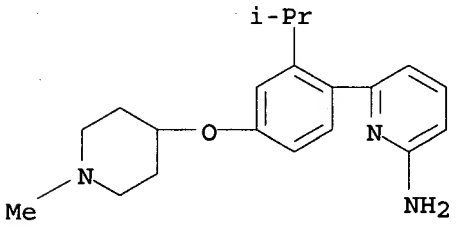


●2 HCl

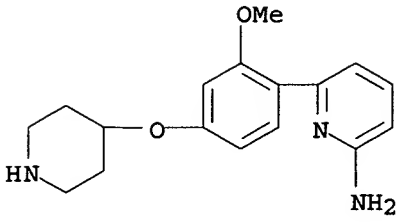
RN 211494-62-1 CAPLUS
CN 2-Pyridinamine, 6-[2-methoxy-4-[(1-methyl-4-piperidinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



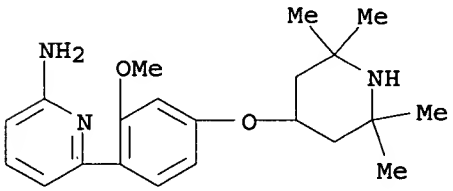
RN 211494-71-2 CAPLUS
CN 2-Pyridinamine, 6-[2-(1-methylethyl)-4-[(1-methyl-4-piperidinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



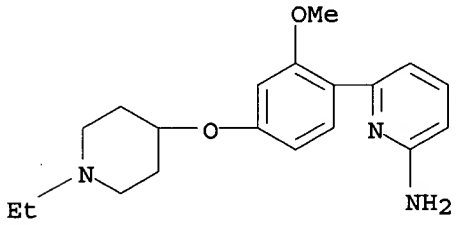
RN 211495-07-7 CAPLUS
CN 2-Pyridinamine, 6-[2-methoxy-4-(4-piperidinyloxy)phenyl]- (9CI) (CA INDEX NAME)



RN 211495-08-8 CAPLUS
CN 2-Pyridinamine, 6-[2-methoxy-4-[(2,2,6,6-tetramethyl-4-piperidinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



RN 211495-13-5 CAPLUS
CN 2-Pyridinamine, 6-[4-[(1-ethyl-4-piperidinyl)oxy]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

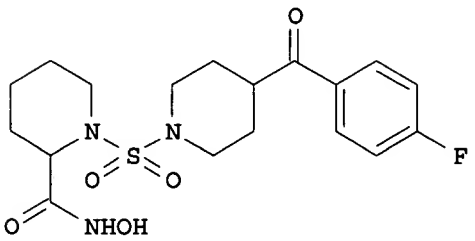
L14 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:498326 CAPLUS
DOCUMENT NUMBER: 129:148991
TITLE: Preparation of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors
INVENTOR(S): Broka, Chris Allen; Campbell, Jeffrey Allen; Castelhano, Arlindo Lucas; Chen, Jian Jeffrey; Hendricks, Robert Than; Melnick, Michael Joseph; Walker, Keith Adrian Murray
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.; Agouron Pharmaceuticals, Inc.
SOURCE: Ger. Offen., 84 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
DE 19802350	A1	19980730	DE 1998-19802350	19980122	<--
WO 9832748	A1	19980730	WO 1998-EP180	19980114	<--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
AU 9866140	A1	19980818	AU 1998-66140	19980114	<--
AU 730127	B2	20010222			
EP 958287	A1	19991124	EP 1998-907943	19980114	<--
EP 958287	B1	20020911			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
BR 9807508	A	20000321	BR 1998-7508	19980114	<--
NZ 336625	A	20010427	NZ 1998-336625	19980114	
JP 2001523222	T2	20011120	JP 1998-531537	19980114	
AT 223909	E	20020915	AT 1998-907943	19980114	
CN 1093125	B	20021023	CN 1998-803233	19980114	
ES 2183331	T3	20030316	ES 1998-907943	19980114	
ZA 9800376	A	19980723	ZA 1998-376	19980116	<--
IT 1298163	B1	19991220	IT 1998-MI91	19980120	<--
FR 2758559	A1	19980724	FR 1998-601	19980121	<--
GB 2321641	A1	19980805	GB 1998-1393	19980122	<--
GB 2321641	B2	20010401			
ES 2136037	A1	19991101	ES 1998-113	19980122	<--
ES 2136037	B1	20001116			
NO 9903587	A	19990922	NO 1999-3587	19990722	<--
MX 9906822	A	20000131	MX 1999-6822	19990722	<--

PRIORITY APPLN. INFO.: US 1997-36714P P 19970123
US 1997-62209P P 19971016
WO 1998-EP180 W 19980114

OTHER SOURCE(S): MARPAT 129:148991

GI

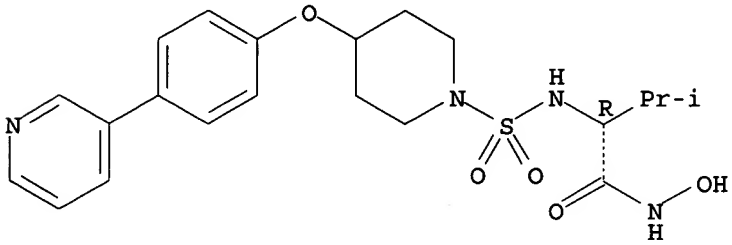


II

AB R10COCR1R2NR3SO2NR20R21 [I; R1-R3 = H, (CO-interrupted) alkyl, heterocyclyl(alkyl), (hetero)aryl(alkyl), etc.; R1R2, R1R3, R2R3 = atoms to complete a ring; R10 = NR11OR12; R11,R12 = H or (ar)alkyl; R20,R21 = H, alkyl, (hetero)aryl[alk(en)yl], etc.; NR20R21heterocyclyl] were prepared **Thus**, (R)-1-[4-(4-chlorobenzoyl)piperidine-1-sulfonyl]piperidine-2-carboxylic acid was amidated by H2NOCMe3 and the product deprotected to give title compound (R)-II. Data for biol. activity of I were given.

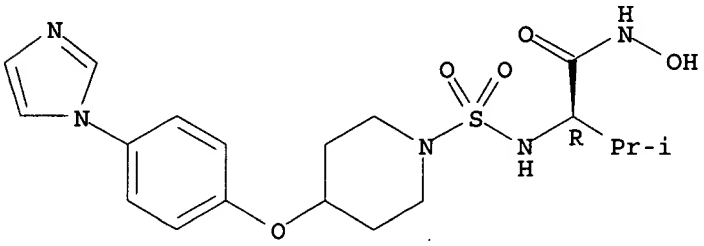
IT **210914-01-5P 210914-14-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-sulfamoylpiperidine-2-hydroxamic acids and analogs as metalloproteinase inhibitors)
RN 210914-01-5 CAPLUS
CN Butanamide, N-hydroxy-3-methyl-2-[[[4-[4-(3-pyridinyl)phenoxy]-1-piperidinyl]sulfonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 210914-14-0 CAPLUS
CN Butanamide, N-hydroxy-2-[[[4-[4-(1H-imidazol-1-yl)phenoxy]-1-piperidinyl]sulfonyl]amino]-3-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:324824 CAPLUS
DOCUMENT NUMBER: 129:27961
TITLE: Preparation of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion molecules to GPIIb/IIIa
INVENTOR(S): Mills, Stuart Dennett
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: U.S., 68 pp., Cont.-in-part of U.S. 5,563,141.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5753659	A	19980519	US 1995-458180	19950602 <--
US 5563141	A	19961008	US 1994-218174	19940328 <--

US 5750754 A 19980512 US 1996-658097 19960604 <--
PRIORITY APPLN. INFO.: GB 1993-6451 A 19930329
GB 1993-25610 A 19931215
US 1994-218174 A2 19940328
GB 1993-6453 A 19930329
GB 1993-25605 A 19931215
GB 1995-18188 A 19950907

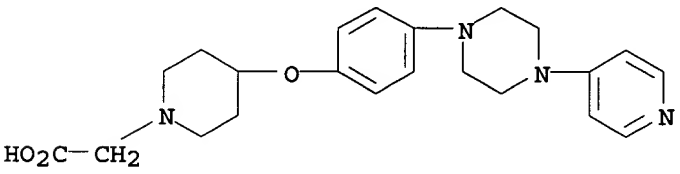
AB The title compds. [(M1)n-Q-(M2)1-n-L-A; n = 0-1; M1 = NH2; Q = an aromatic heterocyclic group containing N atom; M2 = imino; L = template; A = an acidic group, or its ester or amide, or sulfonamide] and their pharmaceutically acceptable salts and pro-drugs, useful for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa, for the inhibition of platelet aggregation, and for the treatment of unstable angina. **Thus**, reaction of Me 4-bromoacetylphenoxyacetate with 1-(4-pyridyl)piperazine in MeCN afforded Me 4-{2-[4-(4-pyridyl)piperazin-1-yl]acetyl}phenoxyacetate which showed pIC50 of 5.8-6.4 against binding of fibrinogen to GPIIb/IIIa.

IT **207916-45-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)

RN 207916-45-8 CAPLUS
CN 1-Piperidineacetic acid, 4-[4-[4-(4-pyridinyl)-1-piperazinyl]phenoxy]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

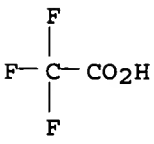
CM 1

CRN 166952-65-4
CMF C22 H28 N4 O3



CM 2

CRN 76-05-1
CMF C2 H F3 O2

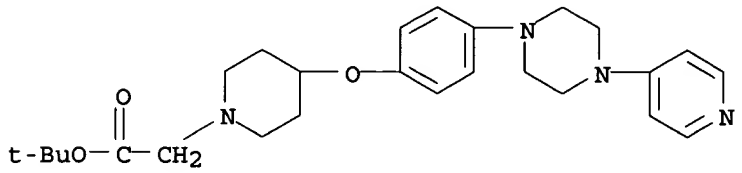


IT **166954-70-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclyl-substituted piperazines for the prevention or

treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)

RN 166954-70-7 CAPLUS

CN 1-Piperidineacetic acid, 4-[4-[4-(4-pyridinyl)-1-piperazinyl]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:754425 CAPLUS

DOCUMENT NUMBER: 126:89266

TITLE: Preparation and formulation of aminophenoxypiperidines and analogs as nerve cell protectants

INVENTOR(S): Goto, Giichi; Yukimasa, Hidefumi; Miyamoto, Masaomi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: U.S., 28 pp., Cont. of U.S. Ser. No. 847,440, abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

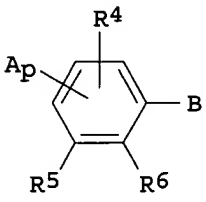
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 5580883	A	19961203	US 1994-266614	19940628	<--
JP 04211647	A2	19920803	JP 1991-50753	19910221	<--
JP 3280040	B2	20020430			

PRIORITY APPLN. INFO.:

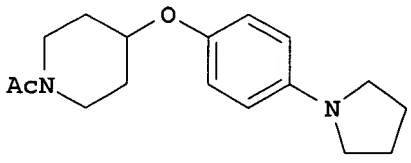
JP 1990-77178	A	19900326
JP 1990-169098	A	19900627
JP 1991-50753	A	19910221
US 1991-674158	B1	19910325
US 1992-847440	B1	19920310
JP 1990-169089	A1	19900627

OTHER SOURCE(S): MARPAT 126:89266

GI



I



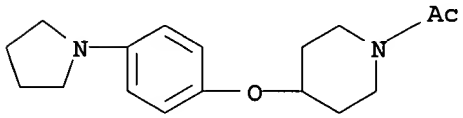
II

AB Title compds. [I; A,B = NR1R2, Z(CH2)nR7; R1,R2 = H, (un)substituted hydrocarbyl, -heterocyclyl; NR1R2 = heterocyclyl; R4-R6 = H, alkyl,

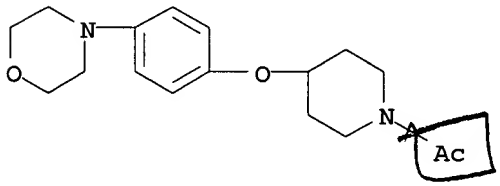
alkoxy; R5R6 = CH:CHCH:CH; R7 = heterocyclyl group Q; R3 = H, acyl, (un)substituted hydrocarbyl; Z = O or S; m = 1-3; n = 0-4; p = 1 or 2] were prepared **Thus**, 1-acetyl-4-hydroxypiperidine was etherified by 4-FC6H4NO2and the reduced product N,N-bisalkylated with Br(CH2)4Br to give title compound II. Data for in vitro activity against glutamic acid-induced necrocytosis by I were given.

IT **138226-44-5P 138226-45-6P 138226-48-9P**
138226-50-3P 138226-53-6P 138226-54-7P
138226-56-9P 138226-57-0P 139323-16-3P
185616-17-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and formulation of aminophenoxypiperidines and analogs as nerve cell protectants)

RN 138226-44-5 CAPLUS
CN Piperidine, 1-acetyl-4-[4-(1-pyrrolidinyl)phenoxy]- (9CI) (CA INDEX NAME)



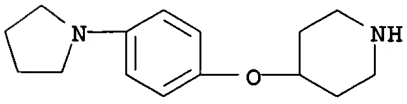
RN 138226-45-6 CAPLUS
CN Piperidine, 1-acetyl-4-[4-(4-morpholinyl)phenoxy]- (9CI) (CA INDEX NAME)



RN 138226-48-9 CAPLUS
CN Piperidine, 4-[4-(1-pyrrolidinyl)phenoxy]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

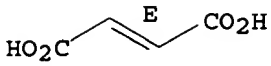
CRN 138226-47-8
CMF C15 H22 N2 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

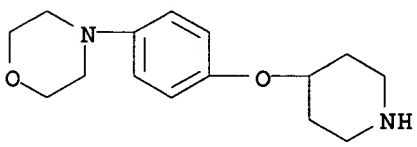
Double bond geometry as shown.



RN 138226-50-3 CAPLUS
CN Morpholine, 4-[4-(4-piperidinyloxy)phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

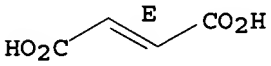
CRN 138226-49-0
CMF C15 H22 N2 O2



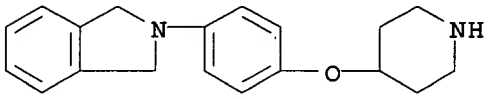
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

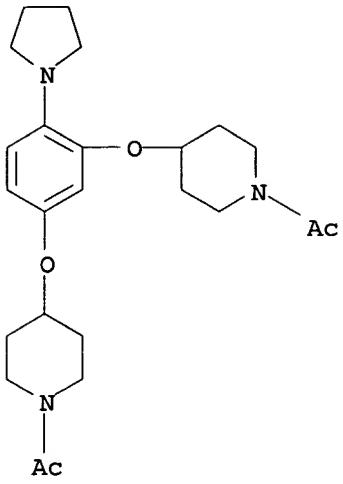


RN 138226-53-6 CAPLUS
CN 1H-Isoindole, 2,3-dihydro-2-[4-(4-piperidinyloxy)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

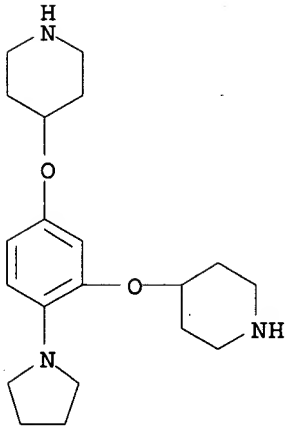
RN 138226-54-7 CAPLUS
CN Piperidine, 4,4'-[[4-(1-pyrrolidinyl)-1,3-phenylene]bis(oxy)]bis[1-acetyl- (9CI) (CA INDEX NAME)



RN 138226-56-9 CAPLUS
CN Piperidine, 4,4'-[[4-(1-pyrrolidinyl)-1,3-phenylene]bis(oxy)]bis-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

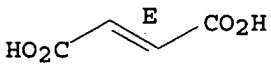
CRN 138226-55-8
CMF C20 H31 N3 O2



CM 2

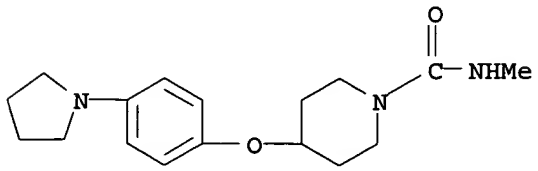
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



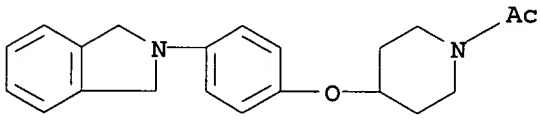
RN 138226-57-0 CAPLUS

CN 1-Piperidinecarboxamide, N-methyl-4-[4-(1-pyrrolidinyl)phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

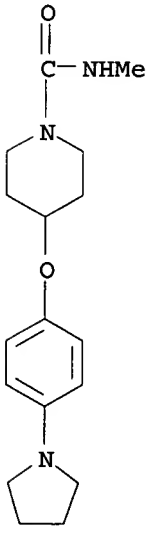


● HCl

RN 139323-16-3 CAPLUS
CN Piperidine, 1-acetyl-4-[4-(1,3-dihydro-2H-isoindol-2-yl)phenoxy]- (9CI) (CA INDEX NAME)



RN 185616-17-5 CAPLUS
CN 1-Piperidinecarboxamide, N-methyl-4-[4-(1-pyrrolidinyl)phenoxy]- (9CI) (CA INDEX NAME)



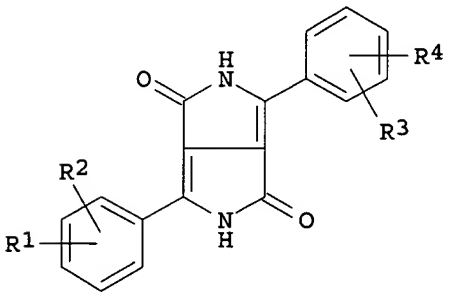
L14 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:416195 CAPLUS
DOCUMENT NUMBER: 122:163502
TITLE: Nitroxyl group-containing diketopyrrolopyrrole pigments

09922619

INVENTOR(S): Chassot, Laurent; Wooden, Gary
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 612747	A2	19940831	EP 1994-810087	19940215 <--
EP 612747	A3	19950308		
EP 612747	B1	19980107		
R: CH, DE, ES, FR, GB, IT, LI, NL				
ES 2111887	T3	19980316	ES 1994-810087	19940215 <--
US 5378276	A	19950103	US 1994-198016	19940217 <--
CA 2116064	AA	19940824	CA 1994-2116064	19940221 <--
JP 06256348	A2	19940913	JP 1994-49793	19940223 <--

PRIORITY APPLN. INFO.: CH 1993-552 19930223
OTHER SOURCE(S): CASREACT 122:163502; MARPAT 122:163502
GI



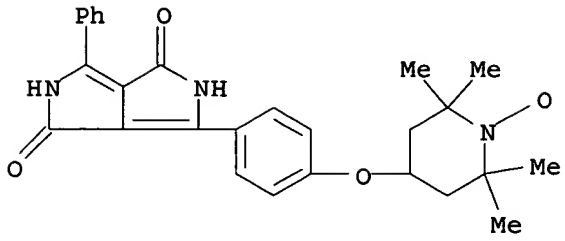
I

AB The pigments (I; R1, R2, R3, R4 = H, Cl, organic group including heterocyclic nitroxyl) are obtained for coloration of high mol. weight organic materials, especially coatings. The nitroxyl group-containing pigments are lightfast and weather resistant and can act as light stabilizers in mixts. with other diketopyrrolopyrroles. **Thus**, 4-chlorobenzonitrile was condensed with 4-hydroxy-2,2,6,6-tetramethylpiperidine N-oxyl to give the cyanophenyl ether, which was condensed with Et 4,5-dihydro-5-oxo-2-phenyl-1H-pyrrole-3-carboxylate to provide I (R1 = R2 = R3 = H; R4 = 2,2,6,6-tetramethyl-1-piperidinyloxy-4-oxy) (II). II when incorporated in paint formulations showed better weathering resistance than formulations containing diketopyrrolopyrroles without a heterocyclic nitroxyl group.

IT **161550-79-4P**
RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(nitroxyl group-containing diketopyrrolopyrrole pigments)

RN 161550-79-4 CAPLUS

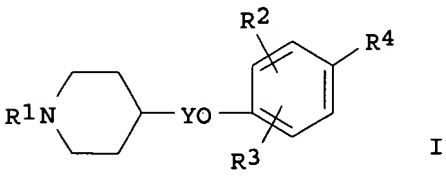
CN 1-Piperidinyloxy, 2,2,6,6-tetramethyl-4-[4-(2,3,5,6-tetrahydro-3,6-dioxo-4-phenylpyrrolo[3,4-c]pyrrol-1-yl)phenoxy]- (9CI) (CA INDEX NAME)



L14 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:630775 CAPLUS
DOCUMENT NUMBER: 121:230775
TITLE: Phenoxy- and (phenoxyalkyl)piperidines as antiviral agents
INVENTOR(S): Diana, Guy Dominic
PATENT ASSIGNEE(S): Sterling Winthrop Inc., USA
SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 605031	A1	19940706	EP 1993-203414	19931204 <--
EP 605031	B1	19970716		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5364865	A	19941115	US 1992-998498	19921230 <--
AU 9344972	A1	19940714	AU 1993-44972	19930831 <--
AU 664640	B2	19951123		
JP 06211839	A2	19940802	JP 1993-231385	19930917 <--
CA 2106728	AA	19940701	CA 1993-2106728	19930922 <--
AT 155465	E	19970815	AT 1993-203414	19931204 <--
FI 9305517	A	19940701	FI 1993-5517	19931209 <--
NO 9304536	A	19940701	NO 1993-4536	19931210 <--
CZ 283070	B6	19971217	CZ 1993-2839	19931220 <--
RU 2125565	C1	19990127	RU 1993-56600	19931223 <--
HU 65837	A2	19940728	HU 1993-3783	19931229 <--
SK 279472	B6	19981104	SK 1993-1498	19931229 <--

PRIORITY APPLN. INFO.: US 1992-998498 A 19921230
OTHER SOURCE(S): MARPAT 121:230775
GI



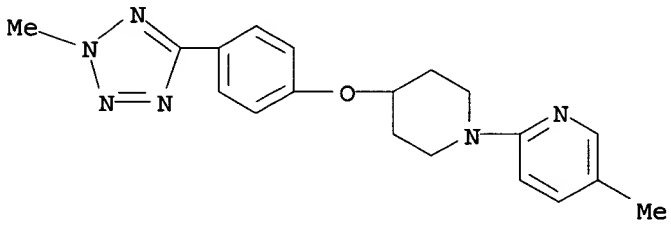
I

AB The title compds. [I; R1 = (un)substituted pyridyl, (un)substituted pyrimidinyl, (un)substituted pyrazinyl, etc.; R2, R3 = H, alkyl, halogen; R4 = heterocyclyl; Y = direct bond, lower alkylene], useful for combating or preventing picorna viral infections, are prepared **Thus**,

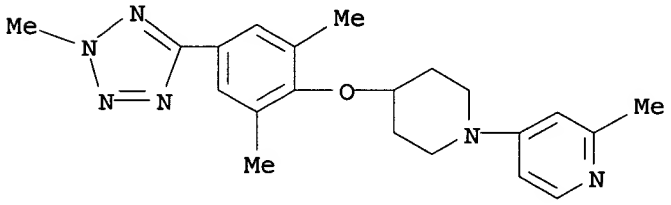
2-methyl-5-(4-hydroxy-3,5-dimethylphenyl)-2H-tetrazole was reacted with 1-(5-methyl-2-pyridinyl)-4-(2-hydroxyethyl)piperidine in the presence of PPh3 and di-Et azodicarboxylate, producing I (R1 = 5-methyl-2-pyridinyl, R2 = 3-Me, R3 = 5-Me, R4 = 2-methyl-2H-tetrazol-5-yl, Y = CH2CH2), m.p. 174-176°, which demonstrated virucidal activity against human rhinovirus serotypes.

IT **158181-65-8P 158181-69-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and virucidal activity of)

RN 158181-65-8 CAPLUS
CN Pyridine, 5-methyl-2-[4-[4-(2-methyl-2H-tetrazol-5-yl)phenoxy]-1-piperidinyl]- (9CI) (CA INDEX NAME)

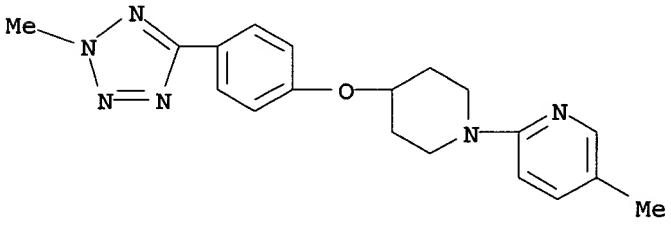


RN 158181-69-2 CAPLUS
CN Pyridine, 4-[4-[2,6-dimethyl-4-(2-methyl-2H-tetrazol-5-yl)phenoxy]-1-piperidinyl]-2-methyl- (9CI) (CA INDEX NAME)



IT **158181-65-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(virucide)

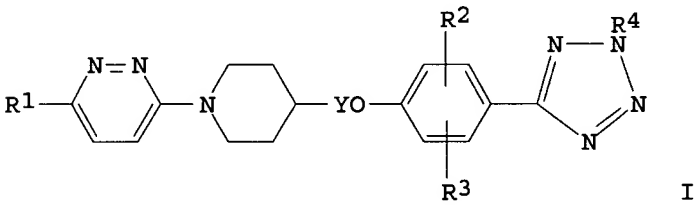
RN 158181-65-8 CAPLUS
CN Pyridine, 5-methyl-2-[4-[4-(2-methyl-2H-tetrazol-5-yl)phenoxy]-1-piperidinyl]- (9CI) (CA INDEX NAME)



L14 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:298637 CAPLUS
DOCUMENT NUMBER: 120:298637
TITLE: Tetrazolyl-(phenoxy and phenoxyalkyl)-piperidinylpyridazines as antiviral agents
INVENTOR(S): Diana, Guy D.
PATENT ASSIGNEE(S): Sterling Winthrop Inc., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5242924	A	19930907	US 1992-909403	19920702 <--
CA 2098241	AA	19940103	CA 1993-2098241	19930611 <--
EP 577217	A1	19940105	EP 1993-201898	19930630 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AU 9341671	A1	19940106	AU 1993-41671	19930701 <--
AU 655365	B2	19941215		
HU 64759	A2	19940228	HU 1993-1935	19930702 <--
JP 06073049	A2	19940315	JP 1993-164511	19930702 <--

PRIORITY APPLN. INFO.: US 1992-909403 19920702
OTHER SOURCE(S): MARPAT 120:298637
GI

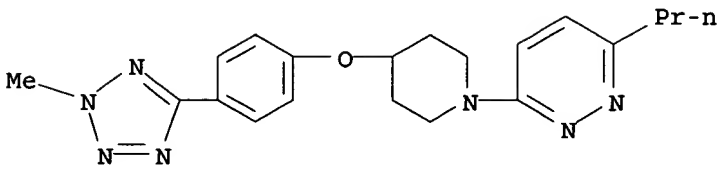


I

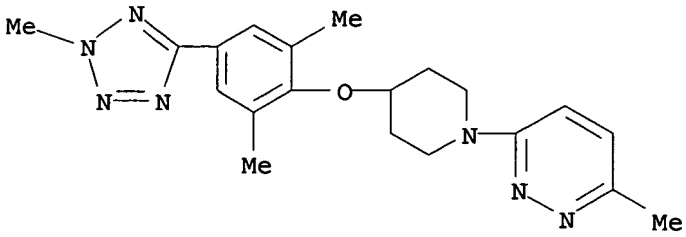
AB The title compds. I (R1, R4 = H, C1-3-alkyl; R2, R3 = H, C1-3-alkyl, halogen; Y = direct bond, C1-6 alkylene), which have antiviral activity against picornaviruses, are prepared **Thus**, N-benzyl-4-(2-hydroxyethyl)piperidine and 2-methyl-5-(3,5-dimethyl-4-hydroxyphenyl)-2H-tetrazole were coupled in the presence of di-Et azodicarboxylate and Ph3P, the intermediate debenzylated, and reacted with 6-methyl-3-chloropyridazine, producing I (R1 = R4 = Me, Y = CH2CH2), m.p. 176-177°, which demonstrated antiviral activity against 15 serotypes of rhinovirus.

IT **152665-32-2P 152665-36-6P 152665-37-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and virucidal activity of)

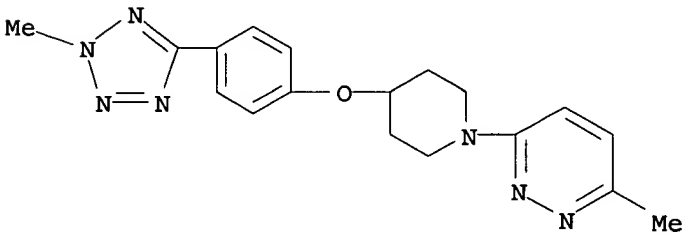
RN 152665-32-2 CAPLUS
CN Pyridazine, 3-[4-[4-(2-methyl-2H-tetrazol-5-yl)phenoxy]-1-piperidinyl]-6-propyl- (9CI) (CA INDEX NAME)



RN 152665-36-6 CAPLUS
CN Pyridazine, 3-[4-[2,6-dimethyl-4-(2-methyl-2H-tetrazol-5-yl)phenoxy]-1-piperidinyl]-6-methyl- (9CI) (CA INDEX NAME)



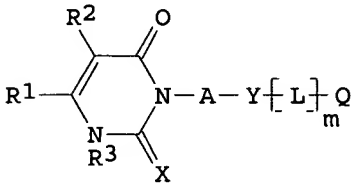
RN 152665-37-7 CAPLUS
CN Pyridazine, 3-methyl-6-[4-[4-(2-methyl-2H-tetrazol-5-yl)phenoxy]-1-piperidinyl]- (9CI) (CA INDEX NAME)



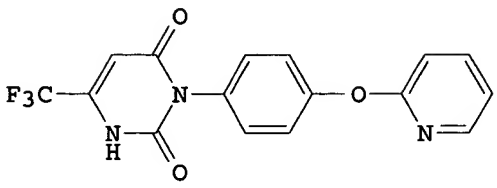
L14 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:580805 CAPLUS
DOCUMENT NUMBER: 119:180805
TITLE: Preparation of pyrimidinedione derivatives as herbicides
INVENTOR(S): Kawamura, Yasuo; Sato, Jun; Fukuda, Kenzo; Ito, Kaoru; Kita, Hiroshi; Yagi, Kazuo; Suzuki, Koichi; Nawamaki, Tsutomu; Watanabe, Shigeomi; Et, Al.
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 48 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05039272	A2	19930219	JP 1991-336708	19911219 <--
PRIORITY APPLN. INFO.:			JP 1991-1007	19910109

OTHER SOURCE(S): MARPAT 119:180805
GI



I



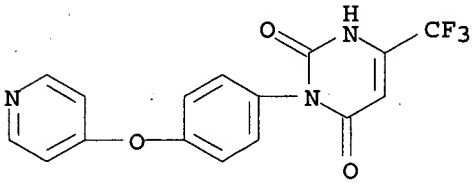
II

AB The title compds. [I; R1 = C1-4 haloalkyl; R2 = H, halo, C1-4 alkyl, NO2; R3 = H, C1-4 alkyl, alkali or alkaline earth metal; A = (un)substituted phenylene; provided that when A is linked to Y at the m-position, A = unsubstituted phenylene), (un)substituted 5- or 6-membered heterocyclic ring containing ≥1 N, O, and S; Y = O, S, SO, SO2; L = (≥1 Me-substituted) C1-3 alkylene; m = 0,1; Q = (un)substituted Ph, naphthalene, or 5- or 6-membered (fused) heterocyclic ring containing ≥1 N, O, or S], having potent herbicidal activity, particularly against broad leaf weeds, are prepared **Thus**, treatment of CF3C(NH2):CHCO2Et with NaH in DMF at 0-5° followed by cyclocondensation with Et 4-(2-pyridyloxy)phenylcarbamate at 110-120° gave a title compound (II), which at 3.2 g/are postemergence controlled ≥90% Galinsoga parviflora and Solanum nigrum and gave ≤5% damage to corn, wheat, and soybean plants. A total of 40 I were prepared and tested for herbicidal activity.

IT **150279-77-9P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

RN 150279-77-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 3-[4-(4-pyridinyloxy)phenyl]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



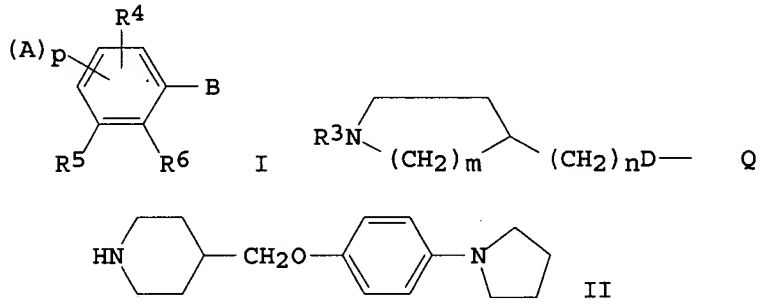
L14 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:128671 CAPLUS
DOCUMENT NUMBER: 116:128671
TITLE: Preparation of (cyclic) aminobenzene derivatives as CNS antioxidants
INVENTOR(S): Goto, Giichi; Yukimasa, Hidefumi; Miyamoto, Masaomi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 68 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 449195	A2	19911002	EP 1991-104745	19910326 <--
EP 449195	A3	19920513		
EP 449195	B1	19960508		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 04211647	A2	19920803	JP 1991-50753	19910221 <--
JP 3280040	B2	20020430		
CA 2038962	AA	19910927	CA 1991-2038962	19910325 <--
AT 137747	E	19960515	AT 1991-104745	19910326 <--

PRIORITY APPLN. INFO.: JP 1990-77178 A 19900326
JP 1990-169089 A 19900627
JP 1991-50753 A 19910221

OTHER SOURCE(S): MARPAT 116:128671
GI

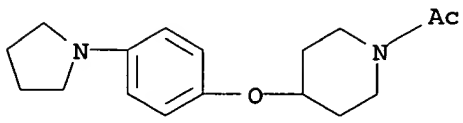


AB Title compds. I [A,B = NR1R2, Q; R1,R2 = H, (substituted) hydrocarbyl, heterocyclyl; or NR1R2 = cyclic amino group, one of R1 or R2 ≠ H; D = O, S; R3 = H, (substituted) hydrocarbyl, (substituted) acyl; m = 1-3; n = 0-4; p = 1, 2 and both A may be the same or different when p = 2; R4-R6 = H, lower alkyl, lower alkoxy, or R5R6 = CH:CHCH:CH] were prepared as CNS antioxidants useful as inhibitors of degeneration and necrocytosis of cerebral cells. **Thus**, 1-tert-butoxycarbonyl-4-piperidinecarboxylic acid N-hydroxysuccinimide ester was reduced by NaBH4 to the hydroxymethylpiperidine derivative This was arylated by p-fluoronitrobenzene, and the product was hydrogenated to give the corresponding amine. This was N-dialkylated by 1,4-dibromobutane and the product was deprotected by CF3CO2H to give title compound II as the fumarate salt. II.fumarate had IC50 of 0.8 nM against glutamic acid-induced necrocytosis in N18-RE-105 cells. II.fumarate was formulated as a tablet.

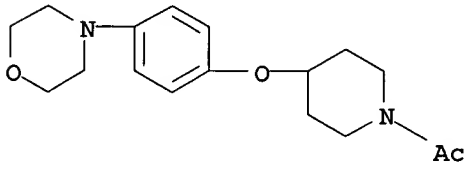
IT **138226-44-5P 138226-45-6P 138226-47-8P**
138226-48-9P 138226-50-3P 138226-53-6P
138226-54-7P 138226-56-9P 138226-57-0P
139323-16-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as CNS antioxidant)

RN 138226-44-5 CAPLUS

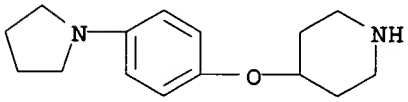
CN Piperidine, 1-acetyl-4-[4-(1-pyrrolidinyl)phenoxy]- (9CI) (CA INDEX NAME)



RN 138226-45-6 CAPLUS
CN Piperidine, 1-acetyl-4-[4-(4-morpholinyl)phenoxy]- (9CI) (CA INDEX NAME)



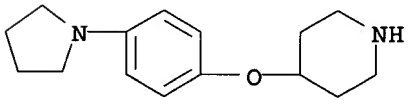
RN 138226-47-8 CAPLUS
CN Piperidine, 4-[4-(1-pyrrolidinyl)phenoxy]- (9CI) (CA INDEX NAME)



RN 138226-48-9 CAPLUS
CN Piperidine, 4-[4-(1-pyrrolidinyl)phenoxy]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

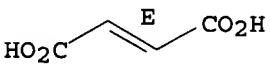
CRN 138226-47-8
CMF C15 H22 N2 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

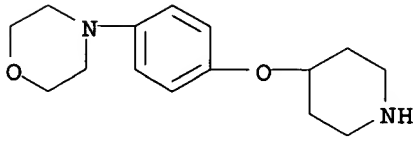
Double bond geometry as shown.



RN 138226-50-3 CAPLUS
CN Morpholine, 4-[4-(4-piperidinyloxy)phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

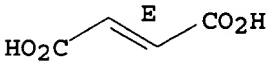
CRN 138226-49-0
CMF C15 H22 N2 O2



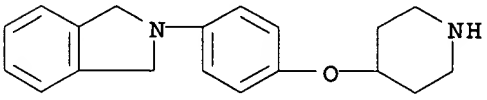
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

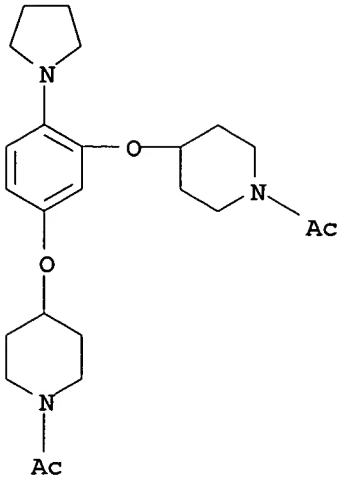


RN 138226-53-6 CAPLUS
CN 1H-Isoindole, 2,3-dihydro-2-[4-(4-piperidinyloxy)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

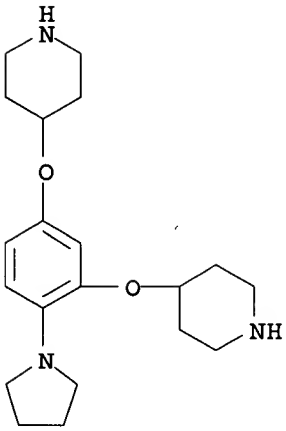
RN 138226-54-7 CAPLUS
CN Piperidine, 4,4'-[[4-(1-pyrrolidinyl)-1,3-phenylene]bis(oxy)]bis[1-acetyl- (9CI) (CA INDEX NAME)



RN 138226-56-9 CAPLUS
CN Piperidine, 4,4'-[[4-(1-pyrrolidinyl)-1,3-phenylene]bis(oxy)]bis-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

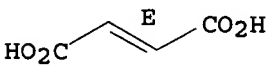
CRN 138226-55-8
CMF C20 H31 N3 O2



CM 2

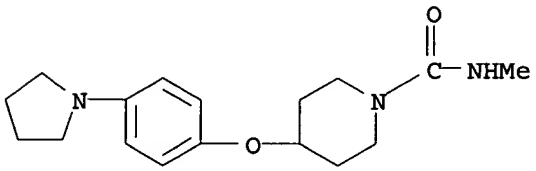
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



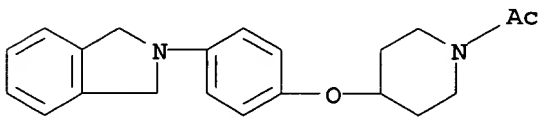
RN 138226-57-0 CAPLUS

CN 1-Piperidinecarboxamide, N-methyl-4-[4-(1-pyrrolidinyl)phenoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

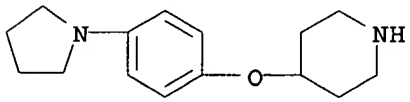


● HCl

RN 139323-16-3 CAPLUS
CN Piperidine, 1-acetyl-4-[4-(1,3-dihydro-2H-isoindol-2-yl)phenoxy]- (9CI) (CA INDEX NAME)



IT **138226-47-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of CNS antioxidants)
RN 138226-47-8 CAPLUS
CN Piperidine, 4-[4-(1-pyrrolidinyl)phenoxy]- (9CI) (CA INDEX NAME)



L14 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:478399 CAPLUS
DOCUMENT NUMBER: 113:78399
TITLE: Preparation of 2,3,4-substituted imidazoles and 3,4,5-substituted 1,2,4-triazoles useful as antagonists of platelet activating factor (PAF)
INVENTOR(S): Schromm, Kurt; Mentrup, Anton; Renth, Ernst Otto; Heuer, Hubert; Muacevic, Gojko; Birke, Franz
PATENT ASSIGNEE(S): Boehringer Ingelheim K.-G., Fed. Rep. Ger.; Boehringer Ingelheim International G.m.b.H.
SOURCE: Eur. Pat. Appl., 73 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

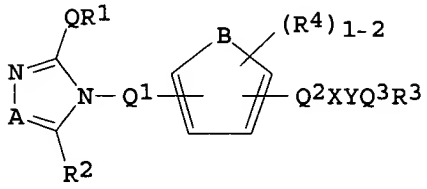
09922619

EP 335381	A1	19891004	EP 1989-105570	19890329 <--
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 3810848	A1	19891019	DE 1988-3810848	19880330 <--
FI 8901449	A	19891001	FI 1989-1449	19890328 <--
NO 8901293	A	19891002	NO 1989-1293	19890328 <--
DD 283620	A5	19901017	DD 1989-326949	19890328 <--
ZA 8902259	A	19901228	ZA 1989-2259	19890328 <--
DK 8901514	A	19891001	DK 1989-1514	19890329 <--
WO 8909212	A1	19891005	WO 1989-EP341	19890329 <--
W: DE, HU, JP, KR, SU, US				
FR 2629457	A1	19891006	FR 1989-4089	19890329 <--
GB 2216890	A1	19891018	GB 1989-7042	19890329 <--
HU 52091	A2	19900628	HU 1989-2149	19890329 <--
JP 02503679	T2	19901101	JP 1989-503727	19890329 <--
AU 8932286	A1	19891005	AU 1989-32286	19890330 <--

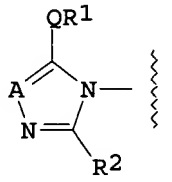
PRIORITY APPLN. INFO.: DE 1988-3810848 19880330
WO 1989-EP341 19890329

OTHER SOURCE(S): CASREACT 113:78399; MARPAT 113:78399

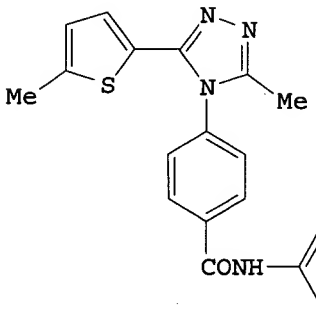
GI



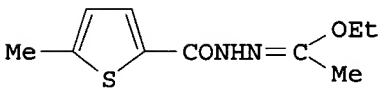
I



II



IV

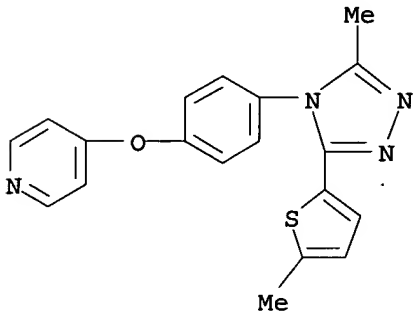


III

AB Title compds. I and II [XY = bond, CONR5, NR5CO, SO2NR5, NR5CONR5NR5, etc.; A = N, CH; B = 1- or 2-membered component of a mono- or polynuclear (hetero)aromatic ring system, especially CH:CH, S, O, NR5; Q, Q1, Q2, Q3 = bond, alkylene; plus Q = O, NR5; R1 = (un)substituted Ph, heterocyclyl; R2 = H, OH, acyloxy, (un)substituted aliphatic, etc.; R3 = (un)substituted carbo- or heterocyclyl; R4 = H, alkyl, alkoxy, halo; R5 = H, alkyl] were prepared as PAF antagonists, especially useful for treating inflammatory, allergic, or autoimmune diseases. **Thus**, cyclocondensation of Et acetate (methylthenoyl)hydrazonide III with p-amino-N-(3-pyridyl)benzamide at 170-190° gave triazole IV. The ethylthienyl analog of IV inhibited PAF-induced aggregation of thrombocytes with IC50 = 0.61 + 10-6 M.

IT **126768-37-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as PAF antagonist)
RN 126768-37-4 CAPLUS
CN Pyridine, 4-[4-[3-methyl-5-(5-methyl-2-thienyl)-4H-1,2,4-triazol-4-yl]phenoxy]- (9CI) (CA INDEX NAME)



=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	71.54	557.53

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-9.70	-11.78

STN INTERNATIONAL LOGOFF AT 10:33:39 ON 25 MAY 2004